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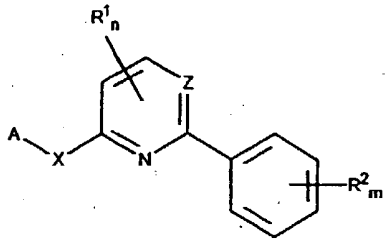
(54) Herbicidal 2,6-disubstituted pyridines and 2,4-disubstituted pyrimidines

(57) The new pyridine and pyrimidine derivatives of general formula (I),



(I)

wherein

A represents an optionally substituted aryl group or an optionally substituted 5- or 6-membered nitrogen-containing heteroaromatic group or a difluorobenzodioxolyl group;
m represents an integer from 0 to 5;
n represents an integer from 0 to 2;
R^1 (or each R^1) independently represents a hydrogen atom, an halogen atom, an optionally substituted alkyl, alkenyl, alkinyl, alkoxy, alkoxyalkyl, dialkoxyalkyl, alkoxyalkoxy, alkylthio, amino, alkylamino, dialkylamino, alkoxyamino or formamidino group;
R^2 (or each R^2) independently represents a hydrogen atom, a halogen atom, an optionally substituted alkyl, alkenyl, alkinyl, alkoxy, alkylthio, alkylsulphonyl or alkylsulfinyl group or a nitro, cyano, haloalkyl, haloalkoxy or haloalkylthio group;
X represents an oxygen or sulphur atom; and
Z represents a nitrogen atom or a CH group;

with the proviso that

if A represents a 1-methyl-3-trifluoromethyl-pyrazol-5-yl group, n is 0, X represents an oxygen atom and Z represents a CH group ,
then R^2_m does not represent hydrogen or 3-trifluoromethyl or 2,4-dichloro or 2,4-dimethyl,

can be prepared by conventional methods and are particularly useful as herbicides.

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Description

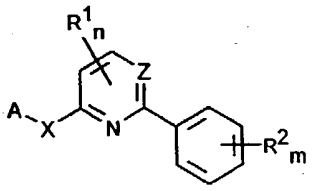
The present invention relates to certain 2,6-disubstituted pyridines and 2,4-disubstituted pyrimidines, their preparation and use as herbicides.

Pyridines, pyrimidines and their derivatives have many uses in the pharmaceutical area as well as in agriculture (herbicides, fungicides, acaricides, anthelmintics, bird repellents), reagents, intermediates and chemicals for the polymer and textile industry.

2-Arylpyrimidines and 2-pyrimidinyl-6-arylpyridines for example have been described as fungicides (DE 40 29 654 and JO 2131-480, respectively). EP 263,958 is concerned with herbicidal 2,6-diphenylpyridines, and structurally related 2,4-diphenylpyrimidines have been disclosed in EP 354,766 and 425,247, respectively, which are also said to be herbicides. Another example are 2,6-diphenoxypyridines, which have been published in EP 572,093 as herbicides. 4-Phenoxy-2-pyrazol-1-yl-pyrimidines are disclosed in DE 29 35 578 to have fungicidal activity. Huelsen (Diplomarbeit, Konstanz 1993) describes four distinct 2-(1-methyl-3-trifluoromethylpyrazol-5-ylyoxy)-6-phenyl pyridines, however, no biological activity is disclosed.

Surprisingly, it has now been found that good herbicidal activity is present in related, novel pyridine and pyrimidine derivatives having both an aryl group and an aryloxy or a heteroaryloxy group. These compounds unexpectedly show excellent activity and good crop selectivity in pre-and post-emergence applications on both broadleaf and grassy weed species.

Accordingly, the present invention provides 2,6-substituted pyridines and 2,4-substituted pyrimidines of the general formula I



(I)

wherein

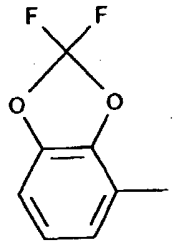
A represents an optionally substituted aryl group or an optionally substituted 5- or 6-membered nitrogen-containing heteroaromatic group or a difluorobenzodioxolyl group;
m represents an integer from 0 to 5;
n represents an integer from 0 to 2;
R^1 (or each R^1) independently represents a hydrogen atom, an halogen atom, an optionally substituted alkyl, alkenyl, alkinyl, alkoxy, alkoxyalkyl, dialkoxyalkyl, alkoxyalkoxy, alkylthio, amino, alkylamino, dialkylamino, alkoxyamino or formamidino group;
R^2 (or each R^2) independently represents a hydrogen atom, a halogen atom, an optionally substituted alkyl, alkenyl, alkinyl, haloalkyl, haloalkoxy, alkoxy, alkoxyalkyl, alkoxyalkoxy, alkylthio, haloalkylthio group or a nitro, cyano, SF_5 or a alkylsulphonyl or alkylsulfinyl group;
X represents an oxygen or sulphur atom; and
Z represents a nitrogen atom or a CH group;

with the provisos that

(a) A represents a pyridyl group being substituted by at least one haloalkyl, haloalkoxy or haloalkylthio group,in the event that Z represents N; or
(b) m and n are 1, R^1 denotes an alkyl, alkoxy or alkylamino group attached in the 4-position and R^2 represents a trifluoromethyl, in the event that Z represent CH.

An aryl group as substituent or part of other substituents or in the definition of A is suitably an optionally substituted phenyl or naphthyl group. Within the definition of A the 5- or 6-membered heteroaryl group comprises optionally substituted 5- or 6-membered heterocycles containing one or more nitrogen and/or oxygen and/or sulfur atoms, 1 to 3 nitrogen atoms being preferred. Examples of such groups are pyrazolyl, imidazolyl, triazolyl, tetrazolyl, pyridyl, pyrazi-

nyl, pyrimidyl, pyridazinyl, isoxazolyl, isothiazolyl and triazinyl groups. As far as A is concerned the definition "aryl" does also include bicyclic systems which consist of a benzene ring condensed with a 5- or 6-membered heterocyclic ring as defined above and in turn the 5- or 6-membered heterocycles may be condensed with a benzene ring. Another preferred embodiment of A is a difluorobenzodioxolyl group of formula



Generally, if any of the above mentioned moieties comprises an alkyl, alkenyl or alkinyl group, such groups, unless otherwise specified, may be linear or branched and may contain 1 to 12, preferably 1 to 4, carbon atoms. Examples of such groups are methyl, ethyl, propyl, vinyl, allyl, isopropyl, butyl, isobutyl and tertiary-butyl groups. The alkyl portion of a haloalkyl, haloalkoxy, alkylthio, haloalkylthio or alkoxy group suitably has from 1 to 4 carbon atoms, preferably 1 or 2 carbon atoms. The number of carbon atoms in the alkoxyalkyl, alkoxyalkoxy or dialkoxyalkyl groups is up to 6, preferably up to 4, e.g. methoxymethyl, methoxymethoxy, methoxyethyl, ethoxymethyl, ethoxyethoxy, dimethoxymethyl.

"Halogen" means a fluorine, chlorine, bromine or iodine atom, preferably fluorine, chlorine or bromine. Haloalkyl, haloalkylthio and haloalkoxy are preferably mono-, di- or trifluoroalkyl,-alkylthio and -alkoxy, especially trifluoromethyl, difluoromethoxy, trifluoromethylthio and trifluoromethoxy.

When any groups are designated as being optionally substituted, the substituent groups which are optionally present may be any of those customarily employed in the modification and/or development of pesticidal compounds and are especially substituents that maintain or enhance the herbicidal activity associated with the compounds of the present invention, or influence persistence of action, soil or plant penetration, or any other desirable property of such herbicidal compounds. There may be one or more of the same or different substituents present in each part of the molecules. In relation to moieties defined above as comprising an optionally substituted alkyl group, including alkyl parts of haloalkyl, alkoxy, alkylthio, haloalkoxy, alkylamino and dialkylamino groups, specific examples of such substituents include phenyl, halogen atoms, nitro, cyano, hydroxyl, C_{1-4}-alkoxy, C_{1-4}-haloalkoxy and C_{1-4}-alkoxycarbonyl groups.

In relation to moieties defined above as comprising an optionally substituted aryl or heteroaryl group, optional substituents include halogen, especially fluorine, chlorine and bromine atoms, and nitro, cyano, amino, hydroxyl, C_{1-4}-alkyl, C_{1-4}-alkoxy, C_{1-4}-haloalkyl, C_{1-4}-haloalkoxy, C_{1-4}-haloalkylthio and haiosulfanyl groups such as SF_5. 1 to 5 substituents may suitably be employed, 1 to 2 substituents being preferred. Typically haloalkyl, haloalkoxy and haloalkylthio groups are trifluoromethyl, trifluoromethoxy, difluoromethoxy and trifluoromethylthio groups.

The index m preferably means an integer from 1 to 3, n is preferably 1 (then R^1 is not hydrogen).

The compounds according to general formula I are oils, gums, or, predominantly, crystalline solid materials. They can be used in agriculture or related fields for the control of undesired plants such as *Alopecurus myosuroides, Echinochloa crus-galli, Setaria viridis, Galium aparine, Stellaria media, Veronica persica, Lamium purpureum, Viola arvensis, Abutilon theophrasti, Ipomoea purpurea* and *Amaranthus retroflexus* by pre- and post-emergence application. The compounds of general formula I according to the invention possess a high herbicidal activity within a wide concentration range and may be used in agriculture.

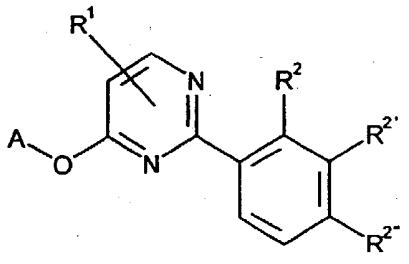
Preferred compounds are those wherein A represents a phenyl, pyridyl, or pyrazolyl group, being substituted by one or more identical or different substituents selected from halogen atoms, alkyl, alkoxy, haloalkyl, haloalkoxy and pentahalosulfanyl groups.

Especially preferred are compounds bearing a substituent in group A in meta-position relative to the point of attachment of this group.

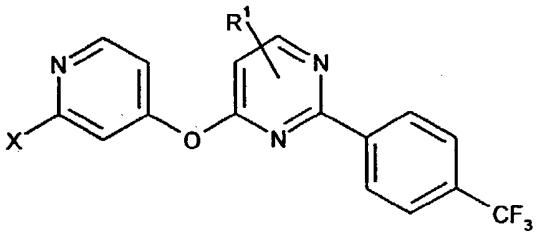
Good results in terms of control of undesired plant growth are obtained when A is *meta*-substituted by a chlorine atom or a trifluoromethyl group, especially A being a 2-chloropyrid-4-yl, 1-methyl-3-trifluoromethylpyrazol-5-yl or 3-trifluoromethylphenyl group.

Particularly good results in control of weeds are achieved with compounds wherein X represents an oxygen atom. Especially good results are obtained with compounds wherein Z represents a nitrogen atom.

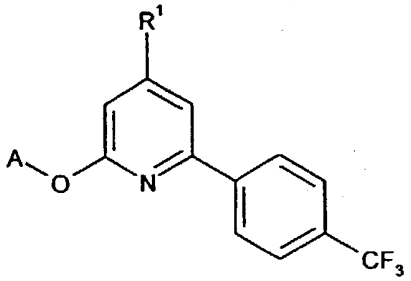
The following formulae I A, I B and IC represent preferred embodiments of the invention:



(I A)



(I B)



(I C)

In the formula IA A represents a 2-trifluoromethylpyrid-4-yl or 2-difluoromethoxypyrid-4-yl group, R^1 has the meaning given above; R^2, $R^{2'}$ and $R^{2''}$ independently represent a hydrogen atom, a fluorine, chlorine or bromine atom, one or two of them also a trifluoromethyl, trifluormethoxy or a cyano group, $R^{2''}$ can further be a C_1-C_4-alkyl group, particularly tert-butyl.

In the formula IB X represents haloalkyl, haloalkoxy or haloalkylthio, preferably difluoromethoxy, and R1 denotes a halogen atom or an alkyl or alkoxy group.

In the formula IC R1 denotes alkyl, alkoxy or alkylamino and A has the meaning given above.

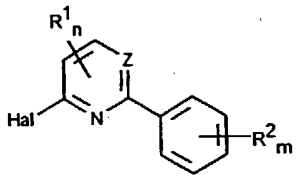
The invention is exemplified by the following compounds:

6-ethyl-2-(4'-trifluoromethylphenyl)-4-(2"-trifluoromethyl-pyrid-4"-yloxy)pyrimidine
6-ethyl-2-(4'-trifluoromethylphenyl)-4-[2"-(2,2,2-trifluoroethyl)-pyrid-4"-yloxy]pyrimidine
6-methyl-2-(4'-trifluoromethylphenyl)4-(2"-difluoromethoxy-pyrid-4"-yloxy)pyrimidine.
6-ethyl-2-(4'-trifluoromethylphenyl)-4-(2"-difluoromethoxy-pyrid-4"-yloxy)pyrimidine
6-methoxymethyl-2-(4'-trifluoromethylphenyl)4-(2"-difluoromethoxy-pyrid-4"-yloxy)pyrimidine
6-methoxmethyl-2-(4'-trifluoromethylphenyl)-4-[2"-(2,2,2-trifluoroethyl)-pyrid-4"-yloxy]pyrimidine
6-methyl-2-(4'-trifluoromethylphenyl)4-[2"-(1,1,2,2-tetrafluoroethyl)-pyrid-4"-yloxy]pyrimidine
5-methyl-2-(4'-trifluoromethylphenyl)4-[2"-(1,1,2,2-tetrafluoroethyl)-pyrid-4"-yloxy]pyrimidine
6-methyl-2-(4'-trifluoromethylphenyl)4-(2"-difluoromethylthio-pyrid-4"-yloxy)pyrimidine
5-methyl-2-(4'-trifluoromethylphenyl)4-(2"-difluoromethylthio-pyrid-4"-yloxy)pyrimidine

6-methoxy-2-(4'-trifluoromethylphenyl)-4-(2"-difluoromethylthio-pyrid-4"-yloxy)pyrimidine
4-ethyl-2-(4'-trifluoromethylphenyl)-6-(1"-methyl-3-trifluoromethylpyrazol-5-yloxy)pyridine
4-methyl-2-(4'-trifluoromethylphenyl)-6-(2"-difluoromethoxypyrid-4"-yloxy)pyridine
4-methyl-2-(4'-trifluoromethylphenyl)-6-(2"-trifluoromethylpyrid-4"-yloxy)pyridine
4-methyl-2-(4'-trifluoromethylphenyl)-6-(3"-trifluoromethylphenyloxy)pyridine

The compounds according to the invention can be prepared by conventional methods.

A suitable process for the preparation of the compounds of general formula I comprises the reaction of a compound of general formula III



(III)

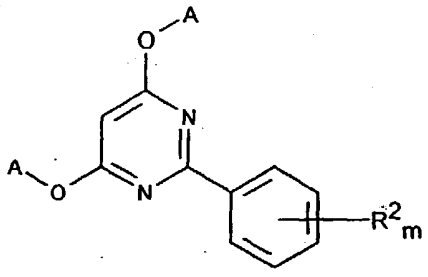
with a compound of general formula IV

A-XM (IV)

wherein Z, A, R^1, R^2, m, n and X are as defined hereinbefore; Hal represents a halogen atom; and M represents a metal atom.

The halogen atom Hal may be any halogen atom, suitably a fluorine, chlorine or bromine atom are employed. The metal atom M may be any metal atom, suitably alkali metal atoms are used, sodium and potassium being preferred.

Alternatively, a compound of general formula XV



(XV)

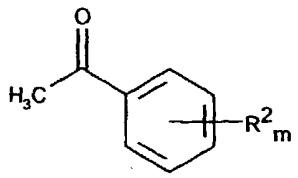
wherein A, R^2 and m are as defined hereinbefore, may react with R^1-H, preferable in the presence of a base, if R^1 is optionally substituted alkoxy, alkoxyalkoxy, alkylthio, amino, alkylamino, dialkylamino or alkoxyamino to give compound of general formula I.

Compounds I, wherein R^1 is alkynyl or alkenyl, e. g. of the allyl or propargyl types, can be prepared from compounds I, wherein R^1 is a halogen atom, preferably chlorine or bromine, by reaction of R^1-H or organometall derivatives thereof, preferable in the presence of a transition metal catalyst or a base.

Compounds XV can be prepared from III, wherein R^1 is Hal, Z is nitrogen, Hal, R^2 and m are defined as hereinbefore, by reaction with IV as described above, X means oxygen, applying about 2 equivalents of IV.

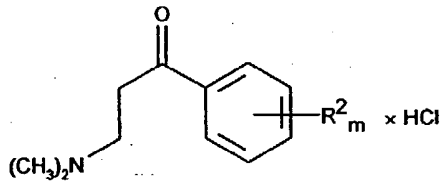
In practice, the reaction may be carried out in the absence or presence of a solvent which promotes the reaction or at least does not interfere with it. Preferred are polar, aprotic or protic solvents, suitably being N,N-dimethylformamide or dimethylsulfoxide or sulfolane, or an ether, such as tetrahydrofurane or dioxane, or alcoholes, or water or mixtures thereof. The reaction is carried out at a temperature between ambient temperature and the reflux temperature of the reaction mixture, preferably at elevated temperature, especially reflux temperature.

Compounds of formula III in which Z represents a C-H group and n is 0 may be obtained by reacting a compound of general formula V



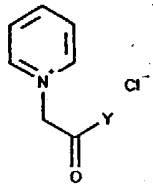
(V)

wherein R^2 and m are as defined hereinbefore, with an aldehyde, suitably formaldehyde, and a dialkylamine, suitably dimethylamine, according to *Org. Synthesis* Col. Vol. III, 305f, in a solvent, conveniently an alcohol, preferably ethanol, to give a compound of general formula VI,



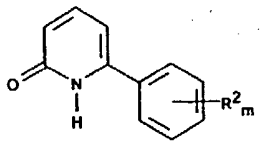
(VI)

which is subsequently reacted according to DBP 21 47 288 (1971) with an ammonium salt, suitably ammonium acetate, and a compound of general formula VII,



(VII)

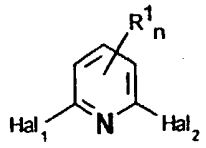
wherein Y is an alkoxy group or an NH_2-group, preferably an ethoxy group, in a solvent, suitably an alcohol, preferably ethanol, to give a compound of general formula VIII,



(VIII)

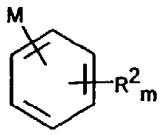
which is further converted by reacting VIII with phosphoryl halogenides (Müller, E., *Chem. Ber.* **42,** 423 (1909); Katritzky et al., *J. Chem. Soc., Perkin Trans.* Part 1, **1980**, 2743-2754), preferably phosphoryl bromide or phosphoryl chloride at elevated temperatures, ideally reflux temperature, to give a compound of general formula III.

An alternative, and preferred process for the preparation of compounds of general formula III in which Z represents a C-H group, comprises reacting a 2,6-dihalopyridine of general formula IX



(IX)

wherein R^1 and n are as defined hereinbefore, and each Hal_1 and Hal_2 independently represents a halogen atom, with an organometallic benzene derivative of general formula (X) in an approximately equimolar ratio,



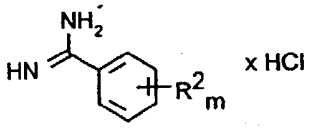
(X)

wherein R^2 and m are defined as hereinbefore, and M represents an alkali metal atom, or borine, or tin, or magnesium, or zinc or copper optionally in the presence of a transition metal catalyst.

The alkali metal may be any alkali metal, preferably lithium, and the reaction may be carried out in an aprotic, polar solvent, preferably ethers, to give a compound of general formula III, essentially as disclosed in Cook and Wakefield, *J. Chem. Soc.*, **1969**, 2376, or in unpolar solvents or water, for example as described in Ali,N.M. et al, *Tetrahedron*, **1992**, 8117.

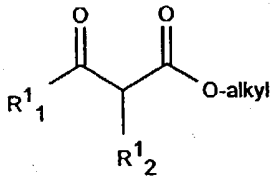
Compounds of formula III, where Z means CH, Hal is fluorine, R^1 is hydrogen, R^2 and m are as defined hereinbefore, can further be converted to compounds of formula III, where n = 1, Z means CH, Hal is fluorine, R^2, m are as defined hereinbefore and R^1 is in position 3 and means methylthio (or another group from the set described before, that is introducable in form of an electrophilic reagent), analogous to the method described by Gungor, T, Marsais,F and Queguiner, G, *J.Organometallic Chem.*, 1981, 139-150.

A process for the preparation of compounds of formula III, in which Z represents a nitrogen atom, comprises the reaction of benzamidine hydrochlorides of the general formula XI



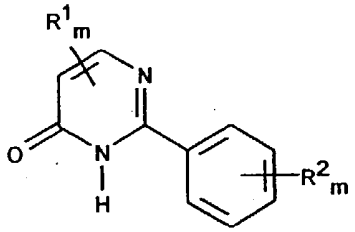
(XI)

wherein R^2 and m are as defined hereinbefore with a compound of formula XII or a salt thereof,



(XII)

wherein each R^1_1 and R^1_2 independently are as defined hereinbefore; and the O-alkyl group is suitably methoxy or ethoxy, to give a pyrimidinone of general formula XIII, in which R^1 can also be hydroxyl.



(XIII)

Compounds of general formula XI are known or may be prepared according to procedures described in the art, for example in *Tetrahedron,* **33**, 1675f (1979) and *J. Org. Chem.,* **26**, 412f. (1960).

The reaction of compounds of formulae XI and XII may be carried out according to *Liebigs Ann.* **1980**, 1392f in an organic solvent, suitably an alcohol and preferably ethanol, and in the presence of a base, suitably metal alkoxides, preferably sodium ethoxide.

Compounds of formula XIII may subsequently be converted into compounds of formula III, essentially as described in Davies and Pigott, *J. Chem. Soc.,* **1945**, 347, by reaction with a phosphoryl halogenide or thionyl halogenide or phosgene, preferably phosphoryl chloride, phosphoryl bromide, ideally in the absence of a solvent, at elevated temperatures to obtain compounds of formula III.

Compounds of formula III in the meaning above with R^1=F may be obtained from compound III when R^1 is chlorine or amino according to procedures known in the art, like described in Tullock C.W. et al, *J.Am.Chem.Soc.* **1960**, 5197 or Kiburis J. Klister J. *J.Chem.Soc.Chem.Com.* **1969**, 381

Compounds of general formula IV are known or may be prepared by known methods. They may be prepared and isolated separately or may be prepared *in situ.* Generally, a compound of general formula XIV

A—XH (XIV)

wherein A and X are as hereinbefore defined is reacted with a suitable metal base, for example a metal carbonate or hydride. Preferably the metal salt is a sodium or potassium salt.

Compounds of general formula I may, if desired, be isolated and purified using conventional techniques.

The present invention also provides the use of a compound of general formula I as a herbicide. Further, in accordance with the invention there is provided a method of combating undesired plant growth at a locus by treating the locus with a composition according to the invention or a compound of formula I. As a useful action is by foliar spray application, the locus is most suitably the plants in a crop area, typical crops being cereals, maize, soya bean, sunflower or cotton. However, application may also be to the soil for those compounds having pre-emergence herbicidal action. The dosage of active ingredient used may, for example be in the range of from 0.01 to 10 kg/ha, preferably 0.05 to 1 kg/ha.

The present invention also extends to a method of making a herbicidal composition of the invention which comprises blending a compound of formula I with at least one carrier.

Preferably there are at least two carriers in a composition of the present invention, at least one of which is a surface-active agent.

A carrier in a composition according to the invention is any material with which the active ingredient is formulated to facilitate application to the locus to be treated, which may be, as appropriate, a plant, seed or soil, or to facilitate storage, transport or handling. A carrier may be a solid or a liquid, including a material which is normally gaseous but which has been compressed to form a liquid, and any of the carriers normally used in formulating herbicidal compositions may be used. Preferably compositions according to the invention contain 0.5 to 95% by weight of active ingredient.

Suitable solid carriers include natural and synthetic clays and silicates, for example natural silicates such as diatomaceous earths; magnesium silicates, for example talcs; magnesium aluminium silicates, for example attapulgites and vermiculites; aluminium silicates, for example kaolinites, montmorillonites and micas; calcium carbonate; calcium sulphate; ammonium sulphate; synthetic hydrated silicon oxides and synthetic calcium or aluminium silicates; elements, for example carbon and sulphur; natural and synthetic resins, for example coumaron resins, polyvinyl chloride, and styrene polymers and copolymers; solid polychlorophenols; bitumen; waxes; solid fertilisers, for example superphosphates.

Suitable liquid carriers include water; alcohols, for example isopropanol and glycols; ketones, for example acetone, methyl ethyl ketone, methyl isobutyl ketone and cyclohexanone; ethers; aromatic or araliphatic hydrocarbons, for example benzene, toluene and xylene; petroleum fractions, for example kerosene and light mineral oils; chlorinated

hydrocarbons, for example carbon tetrachloride, perchloroethylene and trichloroethane. Mixtures of different liquids are often suitable.

Agricultural compositions are often formulated and transported in a concentrated form which is subsequently diluted by the user before application. The presence of small amounts of a carrier which is a surface-active agent facilitates this process of dilution. Thus preferably at least one carrier in a composition according to the invention is a surface active agent. For example, the composition may contain at least two carriers, at least one of which is a surface-active agent.

A surface-active agent may be an emulsifying agent, a dispersing agent or a wetting agent; it may be non-ionic or ionic. examples of suitable surface-active agents include the sodium or calcium salts of polyacrylic acids and lignin sulphonic acids; the condensation products of fatty acids or aliphatic amines or amides containing at least 12 carbon atoms in the molecule with ethylene oxide and/or propylene oxide; fatty acid esters of glycerol, sorbitol, sucrose or pentaerythrol; condensates of these with ethylene oxide and/or propylene oxide; condensation products of fatty alcohol or alkyl phenols, for example p-octylphenol or p-octylcresol, with ethylene oxide and/or propylene oxide; sulphates or sulphonates of these condensation products; alkali or earth alkali metal salts, preferably sodium salts, or sulphuric or sulphonic acd esters containing at least 10 carbon atoms in the molecule, for example sodium lauryl sulphate, sodium secondary alkyl sulphates, sodium salts of sulphonated castor oil, and sodium alkylaryl sulphonates such as dodecylbenzene sulphonate; and polymers of ethylene oxide and copolymers of ethylene oxide and propylene oxide.

The herbicidal composition of the invention may also contain other active ingredients, for example, compounds possessing insecticidal or fungicidal properties, or other herbicides.

A formulation containing a compound according to the invention can consist of 100 g of active ingredient (compound of formula I), 30 g of disperging agent, 3 g of antifoaming agent, 2 g of structure agent, 50 g of anti-freezing agent, 0.5 g of a biocidal agent and water ad 1000 ml. Prior to use it is diluted with water to give the desired concentration of active ingredient.

The following examples illustrate the invention. The structures of the compounds prepared in the following examples were additionally confirmed by NMR and mass spectrometry.

Examples

Example 1:

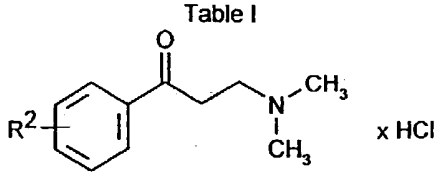
β-Dimethylamino propiophenone hydrochloride

Acetophenone (29.1 ml, 0.25 mol), para-formaldehyde (12.0 g, 0.40 mol) and dimethyl amine hydrochloride (28.5 g, 0.35 mol) are suspended in ethanol (50 ml). Concentrated hydrochloric acid (0.5 ml) is added and the mixture is heated to reflux for 4 h. Then acetone (200 ml) is added and the resulting clear solution is allowed to cool to ambient temperature. The precipitate is collected by filtration and crystallized from ethanol yielding the title compound (40.7 g, 76.0% of theoretical yield) as colorless crystals with mp. 158°C.

Examples 2-4:

Additional examples of general formula VI are prepared as exemplified by Example 1. Details are given in Table I

Table I



x HCl

(VI)

Ex. No.	R^2	mp (°C)	yield (%)
2	3-trifluoromethyl	157	63
3	2,4-dichloro	136	51
4	2,4-dimethyl	134	72

Example 5:

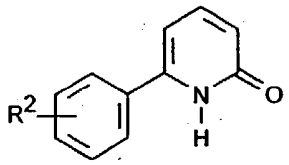
6-Phenyl-2-pyridone

Ethyl 2-chloroacetate (10.6 ml, 0.1 mol) is slowly added to hot (105 °C) pyridine (8.9 ml, 0.11 mol whereby the temperature is maintained in the range of 100 °C to 110°C. The resulting brown oil is dissolved in ethanol (60 ml), β-dimethylamino propiophenone hydrochloride (17.7 g, 0.1 mol; prepared according to Example 1) and ammonium acetate (60 g) are added and the mixture is boiled under reflux for 4 h. After cooling, the mixture is filtered and the solvent is evaporated *in vacuo*. The residue is crystallized from water, collected by filtration and purified by re-crystallization from toluene. The title compound is obtained as colorless crystals (4.7 g, 28% of th.) with mp. 200 °C.

Example 6-8:

Additional examples are analogously prepared to Example 5. Details are given in Table II.

Table II



(VIII)

Ex. No.	R^2	mp (°C)	yield (%)
6	3-trifluoromethyl	174	36
7	2,4-dichloro	255	56
8	2,4-dimethyl	209	23

Example 9:

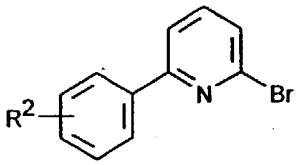
2-Bromo-6-phenyl pyridine

A mixture of 6-phenyl pyridone (3 g, 17.5 mmol; prepared according to Example 6) and phosphoryl bromide (7.2 g, 25.0 mmol) is heated to 100 °C for 5 h. The cooled mixture is poured into water (40 ml) and the pH is adjusted to 9 by addition of saturated aqueous sodium carbonate. Then the layers are separated and the aqueous layer is extracted with ethyl acetate (50 ml). The combined organic layers are dried with anhydrous magnesium sulphate and the solvent is evaporated *in vacuo*. The crude product is crystallized from aqueous ethanol. Subsequent purification by flash chromatography (silica gel, hexane/ethyl acetate 9/1 v/v) gives 2-bromo-6-phenyl pyridine (3.1 g, 76% of th.) as light brown crystals with mp 50 °C.

Examples 10-12:

Additional compounds of general formula III are prepared by procedures analogous to that of Example 9. Details are given in Table III.

Table III



(III)

Ex. No.	R^2	mp (°C)	yield (%)
10	3-trifluoromethyl	oil	82
11	2,4-dichloro	123	88
12	2,4-dimethyl	oil	68

Example 13:

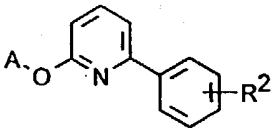
2-(1'-Methyl-3'-trifluoromethyl pyrazol-5'-yloxy)-6-phenyl-pyridine

A mixture of 2-bromo-6-phenyl pyridine (0.5 g, 2.1 mmol; prepared according to Example 9), 1-methyl-3-fluoromethyl-5-hydroxypyrazole (0.65 g, 3.9 mmol), potassium carbonate (0.6 g, 4.3 mmol) and N,N-dimethyl formamide (2 ml) is heated to reflux for 12 h. Then the reaction mixture is directly applied onto a flash chromatography column (silica gel). Elution with hexane/ethyl acetate (9/1 v/v) gives the title compound(0.35 g, 52.0% of th.) as light-yellow oil.

Examples 14-16:

The compounds specified in Table IV are obtained by procedures analogous to that of Example 13.

Table IV



(I)

Ex. No.	A	R^2	mp (°C)	yield (%)
14	1'-CH_3-3'-CF_3-pyrazol-5'-yl	3"-CF_3	113	93
15	1'-CH_3-3'-CF_3-pyrazol-5'-yl	2",4"-dichloro	91	78
16	1'-CH_3-3'-CF_3-pyrazol-5'-yl	2",4"-dimethyl	oil	95

Example 17:

2-Fluoro-6-(4'-fluorophenyl)-pyridine

Butyl lithium (105.0 ml, 0.26 mol, 2.5 M solution in hexane) is added to a solution of 1-bromo-4-fluoro benzene (34. 3 ml, 0.31 mol) in anhydrous diethyl ether (200 ml) at -20 °C. The mixture is stirred for 60 min and then chilled to -40 °C. 2,6-Difluoropyridine (22.7 ml, 0.25 mol) is added and the reaction mixture is allowed to warm to ambient temperature. Subsequently, the mixture is washed with saturated aqueous ammonium chloride (300 ml). The layers are separated and the aqueous layer is washed with diethyl ether 3 times (100 ml each). After drying of the combined organic layers with anhydrous magnesium sulphate, the solvent is removed in vacuo. The crude product is purified by flash column chromatography (silica gel, hexane/AcOEt 8/2) yielding colorless crystals of 2-fluoro-6-(4'-fluorophenyl)-pyridine (19.8 g, 41.0% of th.) with mp 34 °C.

Example 18:

2-Fluoro-6-(4'-fluorophenyl)-4-methylpyridine

A mixture of 2-bromo-6-fluoro-4-methylpyridine (9.5 g, 50 mmol), 4-fluorobenzeneboronic acid (7.8 g, 56 mmol), sodium bicarbonate (12.6 g, 150 mmol), water (200 ml) and catalytic amounts of tetrakis(triphenylphosphine)palladium (0) in DME under nitrogen is heated to reflux overnight. After filtration of the reaction mixture the solvents are removed under reduced pressure. The residue is partitionated between water and ethyl acetate. The layers are separated and the aqueous layer is washed with ethyl acetate. After drying of the combined organic layers with anhydrous magnesium sulphate, the solvent is removed in vacuo. The crude product is purified by flash column chromatography (silica gel, pentane/ethyl acetate 9/1) yielding colorless crystals of 2-fluoro-6-(4'-fluorophenyl)-4-methylpyridine (3.7 g, 36.1% of th.) with mp 49 °C.

Example 19:

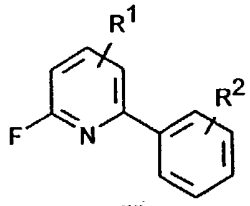
2-Fluoro-6-(4'-trifluorophenyl)-3-methylthio-pyridine

To a solution of 2-fluoro-6-(4'-trifluorophenyl)pyridine (2.4 g, 10 mmol, prepared according to example 17) in dry THF (35 ml) is added dropwise a solution of 2 M LDA in THF (7.5 ml, 15 mmol) at -70 °C. After 2 h at -70°C dimethyl disulfide (1.41 g, 15 mmol) is added and the reaction mixture is allowed to warm at -20 °C. The mixture is hydrolysed and extracted with diethylether. After separation the organic layer is dried with anhydrous magnesium sulphate. The solvents are removed and the crude product is purified by flash column chromatography (silica gel). Elution with hexane/ethyl acetate (20/1 v/v) gives the title compound (1.2 g, 42 %) with mp 70-73 °C.

Examples 20-23:

Analogously to Example 17, the examples of general formula III are prepared as specified in Table V.

Table V



(III)

Ex. No.	R^1	R^2	mp (°C)	yield (%)
20	-	-	oil	47
21	-	4'-trifluoromethyl	58	75
22	-	3'-trifluoromethyl	oil	72
23		3,4-difluoro	oil	24

Example 24:

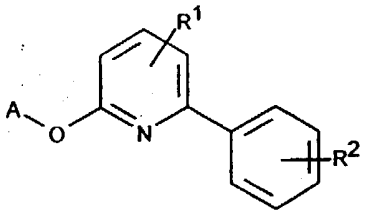
2-(3'-Chlorpyrid-5'-yloxy)-6-(4"-fluorophenyloxy)-pyridine

A mixture of 2-fluoro-6-(4'-fluorophenyl)-pyridine (1.9 g, 10.0 mmol, prepared according to Example 17), 3-chloro-5-hydroxypyridine (1.4 g, 11.0 mmol) and potassium carbonate (1.5g, 11.0 mmol) in sulfolane (10 ml) is heated to reflux for 8 h. The mixture is allowed to cool to ambient temperature and is then filtered through a bed of silica gel which is subsequently washed with ethyl acetate. The organic solutions are combined and the solvent is evaporated in vacuo. The remaining material is applied onto the top of a flash chromatography column (silica gel) and eluted with hexane/ethyl acetate. Elution with hexane/ethyl acetate (8/2 v/v) gives 2-(3'chlorpyrid-5'-yloxy)-6-(4"-fluorophenyloxy)-pyridine (1.4 g, 46% of th.) as light brown crystals with mp 139 °C.

Examples 25-43:

Additional compounds are prepared analogously to example 24. Details are found in Table VI.

Table VI



(I)

Ex. No.	R^1	A	R^2	mp (°C)	yield (%)
25	-	3'-CF_3-phenyl	4"-fluoro	oil	48
26	-	2'-chloropyrid-4'-yl	4"-fluoro	137	37
27	-	2'-chloropyrid-4'-yl	-	109	35
28	-	2'-chloropyrid-4'-yl	4"-trifluoromethyl	105	51
29	-	1'-CH_3-3'-CF_3-pyrazol-5'-yl	4"-fluoro	87	44
30	-	1'-CH_3-3'-CF_3-pyrazol-5'-yl	4"-trifluoromethyl	94	59
31	-	1'-CH_3-3'-CF_3-pyrazol-5'-yl	3"-trifluoromethyl	112	44
32	-	2'-chloropyrid-4'-yl	3"-trifluoromethyl	92	54
33	-	2', 4'-difluorophenyl	3"-trifluoromethyl	oil	72
34		3'-CF_3-phenyl	4"-trifluormethyl	oil	44
35	4-CH_3	1'-CH_3-3'-CF_3-pyrazol-5'-yl	4"-fluoro	85	43
36	4-CH_3	2'-chloropyrid-4'-yl	4"-fluoro	115	35
37	3-CH_3S	3'-CF_3-phenyl	4"-trifluormethyl	133-136	67
38	3-CH_3S	1'-CH_3-3'-CF_3-pyrazol-5'-yl	4"-trifluormethyl	154-156	41
39		1'-CH_3-3'-CF_3-pyrazol-5'-yl	3",4"-difluoro	oil	29

Example 40:

4-Fluorobenzamidine hydrochloride

4-Fluorobenzonitrile (10 g, 83 mmol) is dissolved in a mixture of anhydrous ethanol (5 ml) and diethyl ether (70 ml). The reaction mixture is cooled to ice-bath temperature and saturated with gaseous hydrogen chloride for 90 minutes. The mixture is allowed to warm to ambient temperature and stirred overnight.

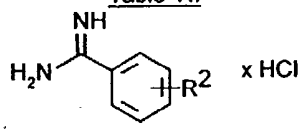
The colourless precipitates are filtered off, washed with diethyl ether and dissolved in anhydrous ethanol (20 ml). Diethyl ether (100 ml) saturated with gaseous ammonia is added and the solution is stirred for 3 hours.

The resulting suspension is filtered and the solvent of the filtrate is removed in vacuo. The residue is washed with diisopropyl ether. After drying colourless crystals (5.15g, 35.5%) of melting point 210°C are obtained.

Examples 41 to 50:

By methods analogous to that of example 40, further compounds of the general formula XI are prepared. Details are given in table VII.

Table VII



x HCl

(XI)

Ex. No.	R^2	mp (°C)	yield (%)
41	4-trifluoromethyl	167	21.4
42	3-methyl	243	29.7
43	3-chloro	148	17.5
44	3,4-difluoro	185	17.4
45	3-trifluoromethyl	181	17.6
46	3-fluoro	143	20.0
47	4-bromo	245	39
48	4-chloro	>250	85
49	4-^{t}bu	153	92
50	4-trifluormethoxy	210	57

Example 51:

2-(4'-Fluorophenyl)-5-methyl-4-pyrimidinone

Sodium hydride (0.52 g, 13 mmol) is added to 20ml of anhydrous ethanol and stirred for 30 minutes at ambient temperature. To this, 4-fluorobenzamidine hydrochloride (1.47 g, 8.5 mmol) (from example 40) is added and the mixture is stirred for further 30 minutes. Methyl 2-formylpropionate (1 g, 10.6 mmol) is added dropwise and the reaction mixture is left for 4 days under stirring at ambient temperature.

After cooling, the solvent is removed *in vacuo* and the residue is dissolved in aqueous sodium hydroxide (10 ml, 1M). Then the mixture is brought to pH 5 with 2 molar hydrochloric acid. The precipitate is filtered off and washed with diisopropyl ether. After drying, colourless crystals (0.44g, 10.3%) of melting point >250°C are obtained.

Example 52:

6-Hydroxy-2-(4'-trifluoromethylphenyl)-4-pyrimidinone

4-Trifluormethylbenzamidine hydrochlorid (22.4 g, 0.1 mol, from example 41) is added to a solution of potassium methylate (0.22 mol) in anhydrous methyl alcohole (65 ml) and stirred for 15 minutes at ambient temperature. Dimethyl malonate (12.6 ml, 0.11 mol) is added and the mixture ist heated to reflux for 4 hours. After cooling, the resulting suspension is diluted with methyl alcohole (50 ml).

The solvent is removed *in vacuo* and the residue is dissolved in water (50ml). Then the mixture is brought to pH 1 with concentrated hydrochloric acid. The precipitate is filtered off and washed with water. After drying, pale yellow crystals (15.1g, 59%) of melting point >200°C are obtained.

Example 53:

5-Methoxy-2-(4'-trifluoromethylphenyl)-4-pyrimidinone

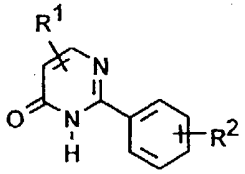
To a suspension of sodium hydride (60 %, 6 g, 0.15 mol) in dry THF (225 ml) a solution of methyl methoxyacetate (14.9 ml, 0.15 mol) in methyl formate (11.1 ml, 0.18 mol) is added during a period of 30 min. The mixture is stirred for 2 hours at ambient temperature. After adding of diethylether (300 ml) the resulting sodium salt of methyl methoxymalonate monoaldehyde can be isolated by suction. Now the sodium salt (0.075 mol) is added to 4-trifluoromethylbenzamidine hydrochloride (16.8 g, 0.075 mol, from example 41) in dry ethyl alcohole (150 ml) and the mixture is stirred for 48 hours at ambient temperature. After heating to reflux for 1 hour water (100 ml) is added to the mixture and the soluion is filtered.

The fliltrate is brought to pH 5 with acetic acid and the ethyl alcohole is removed in vacuo. The precipitate is filtered off and washed with ethyl alcohole. After drying crystals (13.7g, 68%) of melting point >200°C are obtained.

Examples 54 to 78

By the method exemplified in example 53, further compounds of the general formula III are prepared. Details are given in table VIII.

Table VIII



(III)

Ex. No.	R^1	R^2	mp (°C)	yield (%)
54	6-methyl	4'-fluoro	267	56.8
55	5-methyl	4'-trifluoromethyl	>250	58.7
56	6-methyl	4'-trifluoromethyl	209	82.2
57	5-methyl	3'-methyl	169	34.3
58	6-methyl	3'-methyl	185	41.6
59	5-methyl	3'-chloro	260	61.4
60	6-methyl	3'-chloro	218	51
61	5-methyl	3',4'-difluoro	>250	59.4
62	6-methyl	3',4'-difluoro	225	51.3
63	5-methyl	3'-trifluoromethyl	204	39.8
64	6-methyl	3'-trifluoromethyl	109	26.6
65	5,6-dimethyl	3'-trifluoromethyl	215	70.4
66	5,6-dimethyl	4'-trifluoromethyl	242	63.5
67	5-methyl	4'-chloro	>250	27.2
68	6-methyl	4'-chloro	227	6.8
69	5-methyl	3'-fluoro	238	56
70	6-methyl	3'-fluoro	194	48.4
71	6-ethyl	4'-trifluoromethyl	181	87
72	5-methyl	4'-bromo	>250	20
73	6-methyl	4'-bromo	245	39
74	5-methyl	4'-^{t}bu	218	81
75	6-methyl	4'-^{t}bu	213	75
76	5,6-dimethyl	4'-chloro	276	44

77	5,6-dimethyl	4'-trifluoromethoxy	228	70
78	6-methyl	4'-trifluoromethoxy	196	95

Example 79:

2-(4'-Fluorophenyl)-4-chloro-5-methylpyrimidine

A mixture of 2-(4'-fluorophenyl)-5-methyl-4-pyrimidinone (0.79 g, 3.9 mmol) (from example 55) and phosphorous oxychloride (3 ml) is heated to reflux for 1 hour.

The main excess of phosphorous oxychloride is removed in vacuo and the residue is quenched with water (10 ml) to hydrolyze the remaining reagent. The mixture is neutralized and then extracted with ethyl acetate (50 ml). After drying of the organic layer with anhydrous magnesium sulphate, the solvent is removed in vacuo. The title compound. (0.63g, 72.6%) is obtained as colourless crystals of melting point 133°.

Example 80:

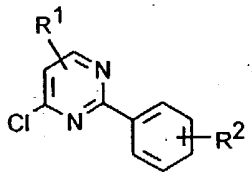
2-(4'-Chlorophenyl)-4,5-dichloro-6-methoxypyrimidine

To a solution of 2-(4'-chlorophenyl)4,5,6-trichloropyrimidine (1.85 g, 6.3 mmol) in methyl alcohole (30 ml) and THF (60 ml) is added a solution of sodium (0.145 g, 6.3 mmol) in methyl alcohole (10 ml) and the mixture is stirred at ambient temperature overnight. After removal of the solvents *in vacuo* dichloromethane is added to the residue and the resulting mixture is washed with water. After drying of the organic layer with anhydrous magnesium sulphate, the solvent is removed. Treating of the residue with pentane affords the title compound (1.75g, 96 %) as colourless crystals of melting point 157-159°C.

Examples 81-108:

The compounds of general formula (XIII) listed in table IX are prepared analogously to the method of example 83.

Table IX



(III)

Ex. No.	R^1	R^2	mp (°C)	yield (%)
81	6-methyl	4'-fluoro	143	97
82	6-methyl	4'-trifluoromethyl	62	71.8
83	5-methyl	4'-trifluoromethyl	109	87.3
84	5-methyl	3'-methyl	154	98.8
85	6-methyl	3'-methyl	134	73.7
86	5-methyl	3'-chloro	87	94.1
87	6-methyl	3'-chloro	101	26.1
88	5-methyl	3',4'-difluoro	114	92
89	6-methyl	3',4'-difluoro	94	90.7
90	5,6-dimethyl	3'-trifluoromethyl	83	81.6
91	5,6-dimethyl	4'-trifluoromethyl	57	54.5
92	5-methyl	3'-trifluoromethyl	101	81.4
93	6-methyl	3'-trifluoromethyl	62	87.3
94	5-methyl	4'-chloro	162	85.2
95	6-methyl	4'-chloro	101	83.6
96	5-methyl	3'-fluoro	95	83.7
97	6-methyl	3'-fluoro	86	71.5
98	6-ethyl	4'-trifluoromethyl	35	86
99	5-methyl	4'-bromo	156-158	94
100	6-methyl	4'-bromo	110-112	94
101	5-methyl	4'-^{t}bu	103-105	98
102	6-methyl	4'-^{t}bu	70-72	99
103	5,6-dimethyl	4'-chloro	87	71
104	5,6-dimethyl	4'-trifluoromethoxy	76	81
105	5-methyl	4'-trifluoromethoxy	129	91
106	6-methyl	4'-trifluoromethoxy	64	94
107	6-chloro	4'-trifluoromethyl	80	33

108	5-methoxy	4'-trifluoromethyl	108	31

Example 109:

2-(4'-Fluorophenyl)4-(3"-trifluoromethylphenoxy)-6-methylpyrimidine

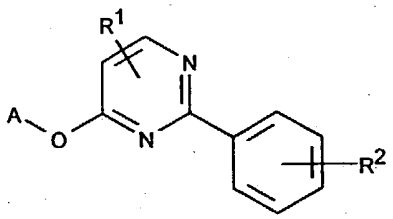
A mixture of 2-(4'-fluorophenyl)-4-chloro-6-methylpyridine (0.6 g, 2.7 mmol) (from example 85), α,α,α-3-hydroxybenzotrifluoride (0.49 g, 3 mmol) and potassium carbonate (0.41 g, 3 mmol) in N,N-dimethylformamide (3 ml) is heated to reflux for 2 hours.

After cooling, ethyl acetate (10 ml) is added and the suspension is filtered through a bed of silica gel using ethyl acetate. The solvent of the filtrate is removed in vacuo and the residue purified by flash silica gel column chromatography using hexane/ethyl acetate 7/2. Removal of the solvent affords colourless crystals (0.53g, 56.4%) of melting point 58°C.

Examples 110-183:

Further compounds of the general formula I are prepared by the procedure of example 109. Details are given in table X.

Table X



(I)

Ex. No.	R^1	R^2	A	mp (°C)	yield (%)
110	5-methyl	4'-fluoro	1"-CH_3-3"-CF_3-pyrazol-5"-yl	133	54.7
111	6-methyl	4'-fluoro	1"-CH_3-3"-CF_3-pyrazol-5"-yl	123	21
112	6-methyl	4'-CF_3	1"-CH_3-3"-CF_3-pyrazol-5"-yl	98	39.5
113	6-methyl	4'-CF_3	3"-CF_3-phenyl	89	79.9
114	5-methyl	4'-CF_3	1"-CH_3-3"-CF_3-pyrazol-5"-yl	147	27.6
115	5-methyl	4'-CF_3	3"-CF_3-phenyl	95	97.6
116	5-methyl	3'-CH_3	1"-CH_3-3"-CF_3-pyrazol-5"-yl	121	74.9
117	5-methyl	3'-CH_3	3"-CF_3-phenyl	71	74.5

118	6-methyl	3'-CH_3	1"-CH_3-3"-CF_3-pyrazol-5"-yl	113	74.9
119	6-methyl	3'-CH_3	3"-CF_3-phenyl	60	73.2
120	5-methyl	3'-chloro	1"-CH_3-3"-CF_3-pyrazol-5"-yl	116	35.4
121	5-methyl	3'-chloro	3"-CF_3-phenyl	105	52.4
122	6-methyl	3'-chloro	1"-CH_3-3"-CF_3-pyrazol-5"-yl	96	27.1
123	5-methyl	2',4'-difluoro	3"-CF_3-phenyl	68	40.4
124	5-methyl	2',4'-difluoro	2"-chloropyrid-4"-yl	146	58.8
125	6-methyl	2',4'-difluoro	1"-CH_3-3"-CF_3-pyrazol-5"-yl	78	56.4
126	6-methyl	2',4'-difluoro	3"-CF_3-phenyl	64	65.3
127	6-methyl	2',4'-difluoro	2"-chloropyrid-4"-yl	162	31.7
128	5-methyl	4'-CF_3	2"-chloropyrid-4"-yl	99	44.1
129	5,6-dimethyl	4'-CF_3	1"-CH_3-3"-CF_3-pyrazol-5"-yl	136	13.2
130	5,6-dimethyl	4'-CF_3	3"-CF_3-phenyl	73	65.6
131	5,6-dimethyl	3'-CF_3	1"-CH_3-3"-CF_3-pyrazol-5"-yl	132	30.3
132	5,6-dimethyl	3'-CF_3	3"-CF_3-phenyl	105	67.5
133	6-methyl	4'-CF_3	1"-CH_3-3"-C_2F_5-pyrazol-5"-yl	128	41
134	6-methyl	4'-CF_3	2",2"-difluoro-1",3"-benzodioxol-4"-yl	86	85
135	6-ethyl	4'-CF_3	1"-CH_3-3"-CF_3-pyrazol-5"-yl	75	46
136	6-ethyl	4'-CF_3	2"-chloropyrid-4"-yl	97	41
137	6-methyl	3'-CF_3	4"-fluorophenyl	78	92
138	6-ethyl	4'-CF_3	3"-CF_3-phenyl	65	38
139	5-methyl	3'-CF_3	4"-fluorophenyl	109-111	86
140	5-methyl	4'-Br	3"-CF_3-phenyl	110	100
141	6-methyl	4'-Br	3"-CF_3-phenyl	86-88	89
142	5-methyl	4'-^{t}Bu	1"-CH_3-3"-CF_3-pyrazol-5"-yl	149-151	92
143	6-methyl	4'-^{t}Bu	1"-CH_3-3"-CF_3-pyrazol-5"-yl	119-121	78
144	5-methyl	4'-^{t}Bu	3"-CF_3-phenyl	123-124	91
145	6-methyl	4'-^{t}Bu	3"-CF_3-phenyl	oil	99
146	6-methyl	4'-Cl	3"-CF_3-phenyl	68	29

147	5,6-dimethyl	4'-Cl	1"-CH_3-3"-CF_3-pyrazol-5"-yl	142	49
148	5,6-dimethyl	4'-Cl	2"-chloropyrid-4"-yl	150	36
149	5,6-dimethyl	4'-Cl	3"-CF_3-phenyl	102	66
150	5-methyl		1"-CH_3-3"-CF_3-pyrazol-5"-yl	140-150	75
151	5,6-dimethyl	3'-F	1"-CH_3-3"-CF_3-pyrazol-5"-yl	117	70
152	5-methyl	4'-Cl	1"-CH_3-3"-CF_3-pyrazol-5"-yl	141	58
153	5-methyl	4'-Cl	2"-chloropyrid-4"-yl	125	31
154	5-methyl	4'-Cl	3"-CF_3-phenyl	101	52
155	6-methyl	4'-Cl	1"-CH_3-3"-CF_3-pyrazol-5"-yl	99	37
156	6-methyl	4'-Cl	2"-chloropyrid-4"-yl	151	8
157	5-methyl	3',4'-difluoro	2"-chloropyrid-4"-yl	146	59
158	6-methyl	3',4'-difluoro	1"-CH_3-3"-CF_3-pyrazol-5"-yl	78	56
159	6-methyl	3',4'-difluoro	3"-CF_3-phenyl	64	65
160	6-methyl	3',4'-difluoro	2"-chloropyrid-4"-yl	162	32
161	5-methyl	4'-CF_3O	1"-CH_3-3"-CH_3-pyrazol-5"-yl	117-121	58
162	6-methyl	4'-CF_3O	1"-CH_3-3"-CH_3-pyrazol-5"-yl	102-104	46
163	5-methyl	4'-CF_3O	1"-CH_3-3"-^{t}bu-pyrazol-5"-yl	96-98	58
164	6-methyl	4'-CF_3O	1"-CH_3-3"-^{t}bu-pyrazol-5"-yl	88-89	78
165	6-methyl	4'-CF_3	1"-CH_3-3"-^{t}bu-pyrazol-5"-yl	87-90	83
166	6-methyl	4'-CF_3O	3"-CF_3-phenyl	52	73
167	6-methyl	4'-CF_3O	2"-chloropyrid-4"-yl	72	32
168	5-methyl	4'-CF_3O	3"-CF_3-phenyl	83	80
169	5-methyl	4'-CF_3O	2"-chloropyrid-4"-yl	82	43
170	5,6-dimethyl	4'-CF_3O	3"-CF_3-phenyl	75	66
171	5,6-dimethyl	4'-CF_3O	2"-chloropyrid-4"-yl	107	54
172	5-methyl	3',4'-difluoro	3"-CF_3-phenyl	68	40
173	6-methyl	4'-CF_3O	1"-CH_3-3"-CF_3-pyrazol-5"-yl	116	43
174	5-methyl	4'-CF_3O	1"-CH_3-3"-CF_3-pyrazol-5"-yl	98	67
175	5,6-dimethyl	4'-CF_3O	1"-CH_3-3"-CF_3-pyrazol-5"-yl	128	45

176	6-methoxymethyl	4'-Cl	2"-chloropyrid-4"-yl	89-91	100
177	6-methoxymethyl	4'-Cl	1"-CH_3-3"-CF_3-pyrazol-5"-yl	113-115	94
178	6-methoxymethyl	4'-Cl	3"-CF_3-phenyl	140-142	92
179	5-methoxy	4'-CF_3	2"-chloropyrid-4"-yl	96	92
180	5-methoxy	4'-CF_3	3"-CF_3-phenyl	80	95
181	5-chloro-6-methoxy	4'-Cl	1"-CH_3-3"-CF_3-pyrazol-5"-yl	173-176	95
182	5-chloro-6-methoxy	4'-Cl	3"-CF_3-phenyl	95-98	100
183	5-methoxy	4'-CF_3	1"-CH_3-3"-CF_3-pyrazol-5"-yl	80	180

Example 184:

4,6-Bis(2"-chloropyrid-4"-yloxy)-2-(4'-trifluormethylphenyl)pyrimidine

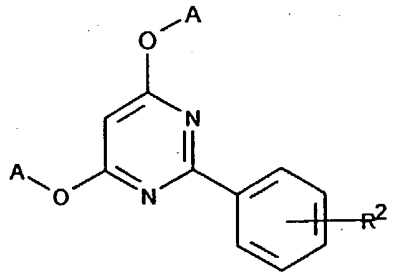
A mixture of 4,6-dichloro-2-(4'-trifluormethylphenyl)pyrimidine (2.93 g, 10 mmol) (from example 111), 2-chloro-4-hydroxypyridine (2.85 g, 22 mmol) and potassium carbonate (3.04 g, 22 mmol) in anhydrous N,N-dimethylformamide (20 ml) is heated at 80°C for 1 hour.

After cooling, the solvent is removed *in vacuo,* ethyl acetate/hexane 1/1 (10 ml) is added and the suspension is filtered through a bed of silica gel. The resulting solution is washed 3 times with water. After drying of the organc layer with anydrous magnesium sulphate, the solvent is removed and the residue is purified by flash silica gel chromatography using hexane/ethyl acetate 8/2. Removal of the solvent affords colourless crystals (4.1g, 86 %) of melting point 141°C.

Examples 185-187

The compounds of general formula (XV a) listed in table XI are prepared analogously to the method of example 184.

Table XI



(XV a)

Ex. No.	R^2	A	mp (°C)	yield (%)
185	4'-trifluoromethyl	1"-CH_3-3"-CF_3-pyrazol-5"-yl	168	86
186	4'-trifluoromethyl	3"-CF_3-phenyl	92	88
187	4'-chloro	1"-CH_3-3"-CF_3-pyrazol-5"-yl	156	93

Example 188

6-Methoxy-4-(2"-chloropyrid-4"-yloxy)-2-(4'-trifluormethylphenyl)pyrimidine

4,6-Bis(2"-chloropyrid-4"-yloxy)-2-(4'-trifluormethylphenyl)pyrimidine (2.0 g, 4.2 mmol) (from example 184) is dissolved in anhydrous methyl alcohole (5ml), a solution of potassium methylate (4.2 mmol) in methyl alcohole (1.2 ml) is added dropwise to this solution and the mixture is heated to reflux for 30 min.

The solvent is removed *in vacuo* and the residue is purified by flash silica gel chromatography using hexane/ethyl acetate 9/1. Removal of the solvents affords colourless crystals (1.0, 62 %) of melting point 128°C.

Example 189

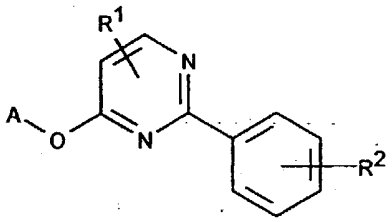
4,6-Dibromo-2-(4'-trifluoromethylphenyl)pyrimidine

A mixture of 4,6-dihydroxy-2-(4'-trifluoromethylphenyl)pyrimidine (5.12 g, 20 mmol) and phosphorous oxybromide (10 ml) is heated for 3 hours at 100 °C. The resulting hot suspension is added to ice and the product can be isolated by suction. After drying, one obtain nearly colourless crystals (6.5g, 86 %) of melting point 87 °C.

Examples 190-203

Compounds of the general formula I are prepared by the procedures of example 188 or 109. Details are given in table XII.

Table XII



(I)

Ex. No.	R^1	R^2	A	mp (°C)	yield (%)
190	6-methoxy	4'-CF_3	1"-CH_3-3"-CF_3-pyrazol-5"-yl	130	64
191	6-methoxy	4'-CF_3	3"-CF_3-phenyl	94	94
192	6-methylthio	4'-CF_3	1"-CH_3-3"-CF_3-pyrazol-5"-yl	127	55
193	6-methylthio	4'-CF_3	2"-chloropyrid-4"-yl	106	41
194	6-dimethylamino	4-CF_3	1"-CH_3-3"-CF_3-pyrazol-5"-yl	148	90
195	6-ethylamino	4-CF_3	1"-CH_3-3"-CF_3-pyrazol-5"-yl	102	23
196	6-methoxy	4'-Cl	1"-CH_3-3"-CF_3-pyrazol-5"-yl	144	80
197	6-methoxyamino	4'-Cl	1"-CH_3-3"-CF_3-pyrazol-5"-yl	178	16
198	6-dimethylamino	4'-Cl	1"-CH_3-3"-CF_3-pyrazol-5"-yl	143	13
199	6-amino	4'-Cl	1"-CH_3-3"-CF_3-pyrazol-5"-yl	149	80
200	6-methylamino	4'-Cl	1"-CH_3-3"-CF_3-pyrazol-5"-yl	114	97
201	6-bromo	4'-CF_3	1"-CH_3-3"-CF_3-pyrazol-5"-yl	110	57
202	6-chloro	4'-Cl	1"-CH_3-3"-CF_3-pyrazol-5"-yl	122	26
203	6-chloro	4'-CF_3	1"-CH_3-3"-CF_3-pyrazol-5"-yl	113	69

Example 204

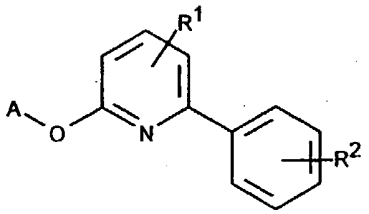
6-Vinyl-4-(1"-methyl-3"-trifluormethylpyrazol-5"-yl)-2-(4'-trifluormethylphenyl)pyrimidine

A mixture of 6-bromo-4-(1"-methyl-3"-trifluormethylpyrazol-5"-yl)-2-(4'-trifluormethylphenyl)pyrimidine (2 g, 4.3 mmol, from example 201), vinyltributylstannate (1.4 ml, 4.7 mmol), tetrakis(triphenylphosphine)palladium(0) (0.1 g, 0.09 mmol), toluene (20ml) and 3 crystalls of 2,6-di*tert*butyl-4-methylphenol is heated to reflux for 90 min. After cooling, a 1.2 N solution of pyridinium fluoride in THF/pyridine (4 ml) and pyridine (2ml) is added. The solution is stirred for 17 h at ambient temperature. To the resulting mixture ethyl acetate (100 ml) is added and the solution is washed twice with water and a satured solution of sodium bicarbonate. After drying of the organc layer with anydrous magnesium sulphate, the solvent is removed and the residue is purified by flash silica gel chromatography using hexane/ethyl acetate 7/3. Removal of the solvent affords nearly colourless crystals (1.45g, 82 %) of melting point 112°C.

Examples 205-214:

Additional compounds are prepared analogously to example 24. Details are found in Table XIII.

Table XIII



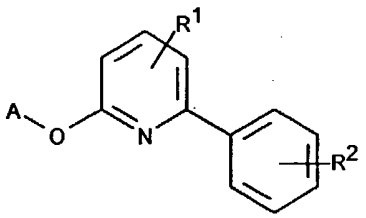
(I)

Ex. No.	R^1	A	R^2	mp (°C)
205	3-ethyl	3'-CF_3-phenyl	4"-trifluoromethyl	72-75
206	5-ethyl	3'-CF_3-phenyl	4"-trifluoromethyl	44-46
207	4-methyl	1'-CH_3-3'-CF_3-pyrazol-5'-yl	4"-trifluoromethyl	98
208	4-methyl	3'-CF_3-phenyl	4"-trifluoromethyl	oil
209	4-methyl	1'-CH_3-3'-CF_3-pyrazol-5'-yl	3",5"-dichloro	117
210	4-methyl	1'-CH_3-3'-CF_3-pyrazol-5'-yl	3",5"-di(trifluoromethyl)	126
211	4-methyl	1'-CH_3-3'-CF_3-pyrazol-5'-yl	3"-chloro-4"- fluoro	101
212	4-methyl	1'-CH_3-3'-CF_3-pyrazol-5'-yl	3",4"-dichloro	97
213	3-methyl	3'-CF_3-phenyl	4"-trifluoromethyl	71-73
214	3-methyl	1'-CH_3-3'-CF_3-pyrazol-5'-yl	4"-trifluormethyl	130-133

Examples 215-221:

Additional compounds are prepared analogously to example 188 starting with 2,4-bisaryloxy-6-arylpyridines. Details are found in Table XIV.

Table XIII



(I)

Ex. No.	R^1	A	R^2	mp (°C)
215	4-methoxy	1'-CH_3-3'-CF_3-pyrazol-5'-yl	4"-trifluoromethyl	102
216	4-methyl-amino	1'-CH_3-3'-CF_3-pyrazol-5'-yl	4"-trifluoromethyl	168
217	4-methoxy	3'-CF_3-phenyl	4"-trifluoromethyl	oil
218	4-C_2H_5	1'-CH_3-3'-CF_3-pyrazol-5'-yl	4"-trifluormethyl	61
219	4-CH_3	2'-difluoromethoxypyrid-4'-yl	4"-trifluormethyl	76-79
220	4-CH_3	2'-trifluoromethylpyrid-4'-yl	4"-trifluormethyl	112-115
221	4-C_2H_5	2'-trifluoromethylphenyl	4"-trifluormethyl	oil

The required 2,4-bisaryloxy-6-arylpyridines are obtained in anlogous way as explizitly descibed below for:

2,4-Bis-(1"-methyl-3"-trifluoromethylpyrazol-5"-yloxy)-6-(4'-trifluormethylphenyl)pyridine

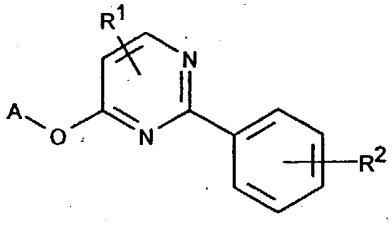
A mixture of 4-nitro-2,6-dichloropyridine (3.9 g, 20 mmol), 1 -methyl-3-trifluoromethyl-5-hydroxypyrazole (7.3 g, 44 mmol) and potassium carbonate (6.7 g, 48 mmol) in anhydrous sulfolane is heated to 110 °C overnight. The reaction mixture is cooled to ambient temperature, deluted with pentane/ethyl acetate (volume ration of 1/1) and filtered through a bed of silica gel. The filtrate is washed 10 times with water, dried over anhydrous magnesium sulfate and the solvents are removed *in vacuo*. The residue is purified by flash silica gel chromatography using pentane/ethyl acetate. One obtains 2,4-bis-(1'-methyl-3'-trifluoromethylpyrazol-5'-yloxy)-6-chloropyridine (4.3 g, m.p.:105°C).

A mixture of bis(benzonitrile)palladium(II)chloride (0.19 g, 0.5 mmol) and 1,4-bis(diphenylphosphino)butane (0.2 g, 0.5 mmol) in anhydrous toluene (10 ml) is heated to reflux under a atmosphere of nitrogen. After 2 hours 4-trifluoromethylbenzeneboronic acid (1.2 g, 6.5 mmol), 2,4-bis-(1"-methyl-3"-trifluoromethylpyrazol-5"-yloxy)-6-chloropyridine (2.2 g, 5 mmol). ethanol (2.5 ml) and a 1 M hydrous solution of sodium carbonate (5 ml) is added and the mixture is heated to reflux for additional 2 hours under a nitrogen atmosphere. The reaction mixture is deluted with ethyl acetate and filtered through a bed of silica gel. The filtrate is washed with water, dried over anhydrous magnesium sulfate and the solvents are removed *in vacuo*. The residue is purified by flash silica gel chromatography using pentane/ethyl acetate (volume ratio 8/2). One obtain colorless crystals of the title compound (2 g, 73 % yield) of melting point 133 °C

Examples 222-300:

Further compounds of the general formula I are prepared by the procedure of example 113. Details are given in table XIV.

Table XIV



(I)

Ex. No.	R^1	R^2	A	mp (°C)
222	5-methyl	4'-CF_3	4"-chloro-pyrimidine-6"-yl	107
223	6-methylthio	4'-chloro	1"-CH_3-3"-CF_3-pyrazol-5"-yl	131
224	6-bromo	4'-CF_3	2"-chloro-pyridine-4"-yl	108
225	6-bromo	4'-CF_3	3"-CF_3-phenyl	96
226	6-(dimethylamino)-methylenamino	4'-chloro	1"-CH_3-3"-CF_3-pyrazol-5"-yl	126
227	6-ethinyl	4'-CF_3	1"-CH_3-3"-CF_3-pyrazol-5"-yl	117
228	6-methoxymethyl	4'-CF_3	1"-CH_3-3"-CF_3-pyrazol-5"-yl	114-116
229	6-methoxymethyl	4'-CF_3	3"-CF_3-4"-fluorophenyl	71-73
230	6-methoxymethyl	4'-CF_3	2"-chloro-pyridine-4"-yl	100-102

231	4,5-dichloro	4'-chloro	1"-CH_3-3"-CF_3-pyrazol-5"-yl	156-160
232	6-methyl	4'-SO_2CH_3	1"-CH_3-3"-CF_3-pyrazol-5"-yl	132
233	6-methyl	4'-SO_2CH_3	3"-CF_3-phenyl	162
234	6-methyl	4'-SO_2CH_3	2"-chloro-pyrid-4"-yl	168
235	4-fluoro	4'-CF_3	1"-CH_3-3"-CF_3-pyrazol-5"-yl	124
236	6-ethyl	4'-CF_3	1"-CH_3-3"-CF_3-pyrazol-5"-yl	90
237	6-ethyl	4'-CF_3	3"-CF_3-phenyl	77
238	6-ethyl	4'-CF_3	2"-chloro-pyrid-4"-yl	97
239	6-ethyl	4'-CF_3	4"-chloro-pyrimidine-6"-yl	86
240	6-ethyl	4'-CF_3	6"-(2,2,2-trifluoroethoxy)-pyrimidine-4"-yl	105
241	6-ethyl	4'-CF_3	2",6"-dichloro-pyrid-4"-yl	158
242	6-ethyl	4'-CF_3	6"-cyano-pyrid-4"-yl	130
243	6-ethyl	4'-CF_3	3"-CF_3-4"-fluorophenyl	62
244	4-chloro	4'-CF_3	3"-CF_3-phenyl	89
245	4-chloro	4'-CF_3	2"-chloro-pyrid-4"-yl	104
246	4-chloro	4'-CF_3	1"-CH_3-3"-C_2F_5-pyrazol-5"-yl	108
247	6-methyl	4'-CF_3	2"-difluoromethoxy-pyrid-4"-yl	89-92
248	4-methylamino	4'-CF_3	1"-CH_3-3"-CF_3-pyrazol-5"-yl	167
249	6-ethoxy	4'-CF_3	1"-CH_3-3"-CF_3-pyrazol-5"-yl	162
250	6-(2-fluoroethoxy)	4'-CF_3	1"-CH_3-3"-CF_3-pyrazol-5"-yl	148
251	6-(2,2,2-trifluoroethoxy)	4'-CF_3	1"-CH_3-3"-CF_3-pyrazol-5"-yl	133
252	6-allyloxy	4'-CF_3	1"-CH_3-3"-CF_3-pyrazol-5"-yl	127
253	5,6-diethoxy	4'-CF_3	1"-CH_3-3"-CF_3-pyrazol-5"-yl	93
254	6-methoxymethyl	4'-CF_3	3"-CF_3-phenyl	56-59
255	6-cyanomethyl	4'-CF_3	1"-CH_3-3"-CF_3-pyrazol-5"-yl	127-130
256	6-hydrazino	4'-CF_3	1"-CH_3-3"-CF_3-pyrazol-5"-yl	187
257	4-fluoro	4'-CF_3	3"-CF_3-phenyl	oil
258	4-fluoro	4'-CF_3	2"-chloropyrid-4"-yl	136

259	4-iodo	4'-CF_3	1"-CH_3-3"-CF_3-pyrazol-5"-yl	108
260	6-methyl	4'-$CHCl_2$	1"-CH_3-3"-CF_3-pyrazol-5"-yl	116
261	6-difluoromethoxy	4'-CF_3	3"-CF_3-phenyl	92-95
262	4-chloro-5-methyl	4'-CF_3	1"-CH_3-3"-CF_3-pyrazol-5"-yl	146
263	4-fluoro-5-methyl	4'-CF_3	1"-CH_3-3"-CF_3-pyrazol-5"-yl	150
264	4-fluoro-5-methyl	4'-CF_3	3"-CF_3-phenyl	69
265	4-fluoro-5-methyl	4'-CF_3	2"-chloropyrid-4"-yl	129
266	6-methyl	4'-CF_3	2"-trifluoromethylpyrid-4"-yl	105
267	6-methyl	4'-CN	1"-CH_3-3"-CF_3-pyrazol-5"-yl	177
268	5-chloro	4'-CF_3	1"-CH_3-3"-CF_3-pyrazol-5"-yl	135-140
269	6-methyl	4'-CF_3	2"-(2,2,2-trifluoroethoxy)pyrid-4"-yl	104-106
270	4-chloro	4'-CF_3	2"-difluoromethoxypyrid-4"-yl	101-104
271	6-methyl	4'-CF_3	3"-CN-phenyl	138
272	5-isopropyl	4'-CF_3	3"-CF_3-phenyl	66
273	6-methoxy	4'-CF_3	2"-trifluoromethylpyrid-4"-yl	84
274	5-methyl	4'-CF_3	2"-trifluoromethylpyrid-4"-yl	109
275	4-chloro	4'-CF_3	2"-trifluoromethylpyrid-4"-yl	97
276	6-methyl	4',5'-di(CF_3)	1"-CH_3-3"-CF_3-pyrazol-5"-yl	132
277	6-methyl	4',5'-di(CF_3)	3"-CF_3-phenyl	93
278	6-methyl	4',5'-di(CF_3)	2"-chloropyrid-4"-yl	128
279	4-difluoromethoxy	4'-CF_3	1"-CH_3-3"-CF_3-pyrazol-5"-yl	108-110
280	6-methoxy	4'-CF_3	2"-difluoromethoxypyrid-4"-yl	88-91
281	5-methyl	4'-CF_3	2"-difluoromethoxypyrid-4"-yl	101-103
282	4-chloro	4'-CF_3	2"-(2,2,2-trifluoroethoxy)pyrid-4"-yl	98-101
283	6-methoxy	4'-CF_3	2"-(2,2,2-trifluoroethoxy)pyrid-4"-yl	91-94
284	5-methyl	4'-CF_3	2"-(2,2,2-trifluoroethoxy)pyrid-4"-yl	74-76
285	5-methyl	4'-CF_3	3"-CF_3O-phenyl	73
286	6-methyl	4'-CF_3	3"-CF_3O-phenyl	63
287	5-methyl	4'-CF_3	2"-cyanopyrid-4"-yl	133

288	5-methyl	4'-CF_3	2"-pentafluoroethylpyrid-4"-yl	134
289	6-methyl	4'-CF_3	2"-pentafluoroethylpyrid-4"-yl	91
290	6-methoxymethyl	4'-CF_3	2"-trifluoromethylpyrid-4"-yl	70
291	6-methoxy	4'-CF_3	2"-pentafluoroethylpyrid-4"-yl	100
292	6-ethyl	4'-CF_3	2"-trifluoromethylpyrid-4"-yl	59
293	6-ethyl	4'-CF_3	2"-(2,2,2-trifluoroethoxy)pyrid-4"-yl	86
294	6-ethyl	4'-CF_3	2"-difluoromethoxypyrid-4"-yl	92
295	6-methoxymethyl	4'-CF_3	2"-difluoromethoxypyrid-4"-yl	118
296	6-methoxymethyl	4'-CF_3	2"-(2,2,2-trifluoroethoxy)pyrid-4"-yl	103
297	6-methyl	4'-CF_3	2"-(1,1,2,2-tetrafluoroethyl)pyrid-4"-yl	
298	6-methyl	4'-CF_3	2"-difluoromethylthiopyrid-4"-yl	70-73
299	5-methyl	4'-CF_3	2"-difluoromethylthiopyrid-4"-yl	80-95
300	6-methoxy	4'-CF_3	2"-difluoromethylthiopyrid-4"-yl	67-70

Example 301:

Herbicidal activity

To evaluate their herbicidal activity, compounds according to the invention are tested using a representative range of plants:

TRZAS Triticum aestivum
HORVW Hordeum vulgare
GOSHI Gossypium hirsutum
HELAN Helianthus annuus
ORYSA Oryza sativa
GLXMA Glycine max
BEAVA Beta vulgaris
ZEAMX Zea mays
ALOMY Alopecurus myosuroides
AVEFA Avena fatua
ECHCG Echinocloa crus-galli
SETVI Setaria viridis
GALAP Galium aparine
STEME Stellaria media
CHEAL Chenopodium album
VERPE Veronica persica
LAMPU Lamium purpureum
VIOAR Viola arvensis
SIDSP Sida spinosa
AMBAR Ambrosia artemisifolia
ABUTH Abutilon theophrasti
IPOPU Ipomoea purpurea
SINAL Sinapis alba
AMARE Amaranthus retroflexus

The tests fall into two categories, pre-emergence and post-emergence. The pre-emergence tests involve spraying a liquid formulation of the compound onto the soil in which the seeds of the plant species mentioned above had recently be sown. The post-emergence tests involve spraying seedlings of the above species with a such a formulation.

The soil used in the tests is a prepared horticultural loam. The formulations used in the test are prepared from solutions of the test compounds in acetone containing 0.4% by weight of an alkylphenyl/ethylene oxide condensate surfactant available under the trade mark TRITON X 155. The acetone solutions are diluted with water and the resulting formulations at dosage levels corresponding to 1000 g or 300 g of active material per hectare in a volume equivalent to 400 litres per hectare. In the pre-emergence tests untreated sown soil and in the post-emergence tests untreated soil bearing untreated seedling plants are used as controls.

The herbicidal effects of the test compounds are assessed visually twenty days after spraying the foliage and the soil (in the case of examples 13-16 thirteen days after treatment) and are recorded on a 0-9 scale. A rating 0 indicates growth as untreated control, a rating 9 indicates death. An increase of 1 unit on the linear scale approximates to a 10% increase in the level of effect. An asterisk indicates that the specified plant species was not treated in the test.

The results of the test are set out in the tables shown below in which the compounds are identified by reference to the preceding examples. An asterisk indicates that the specified plant species was not treated in the test.

Table XV

Efficacy of the compounds of the invention in pre-emergence and post-emerbence application

Ex. No	dose g/ha	appl. time	TRZAW	HORVW	GOSHI	HELAN	ORYSA	GLXMA	BEAVA	ZEAMX	ALOMY	AVEFA	ECHCG	SETVI	GALAP	STEME	CHEAL	VERPE	LAMPU	VIOAR	SIDSP	AMBART	ABUTH	IPOPU	SINAL	AMARE
13	100	pre	*	*	*	*	0	0	4	2	*	2	4	*	*	*	*	*	*	*	*	*	*	*	5	*
	0	post	*	*	*	*	2	5	8	4	*	2	5	*	*	*	*	*	*	*	*	*	*	*	8	*
14	100	pre	*	*	*	*	3	4	9	9	*	6	8	*	*	*	*	*	*	*	*	*	*	*	8	*
	0	post	*	*	*	*	4	6	9	6	*	6	7	*	*	*	*	*	*	*	*	*	*	*	8	*
15	100	pre	*	*	*	*	0	2	8	2	*	2	5	*	*	*	*	*	*	*	*	*	*	*	6	*
	0	post	*	*	*	*	2	6	9	5	*	2	7	*	*	*	*	*	*	*	*	*	*	*	6	*
16	100	pre	*	*	*	*	0	0	2	0	*	0	2	*	*	*	*	*	*	*	*	*	*	*	2	*
	0	post	*	*	*	*	0	2	7	4	*	2	2	*	*	*	*	*	*	*	*	*	*	*	5	*
24	300	pre	1	0	0	0	*	*	*	0	0	*	*	0	0	0	*	0	*	0	*	*	*	0	*	*
		post	0	0	1	1	*	*	*	1	0	*	*	0	0	0	*	0	*	0	*	*	*	0	*	*
25	300	pre	0	0	0	0	*	*	*	0	0	*	*	1	0	0	*	0	*	0	*	*	*	0	*	*
		post	0	0	1	2	*	*	*	2	0	*	*	0	0	0	*	1	*	1	*	*	*	1	*	*
26	300	pre	1	0	*	1	*	*	*	1	*	*	*	0	2	0	0	0	*	*	*	*	0	0	*	0
		post	1	2	*	3	*	*	*	2	*	*	*	0	2	4	0	4	*	*	*	*	4	1	*	5
27	300	pre	0	0	*	0	*	*	*	0	*	*	*	0	0	0	0	0	*	*	*	*	0	0	*	0
		post	2	2	*	3	*	*	*	3	*	*	*	1	1	2	0	3	*	*	*	*	3	2	*	4
28	300	pre	0	3	0	0	*	*	*	3	5	*	6	9	2	7	*	9	8	8	4	4	4	2	*	9
		post	3	3	4	5	*	*	*	4	5	*	4	6	5	4	*	6	*	6	6	5	4	4	*	6
29	300	pre	0	1	*	0	*	*	*	0	*	*	*	8	0	7	8	8	*	*	*	*	0	0	*	9
		post	4	3	*	4	*	*	*	3	*	*	*	3	3	5	6	8	*	*	*	*	5	4	*	7
30	300	pre	4	6	3	3	*	*	*	4	9	*	8	9	6	9	*	9	9	8	8	8	6	6	*	9
		post	4	5	6	6	*	*	*	4	6	*	6	7	5	6	*	6	*	7	8	5	6	6	*	5
31	300	pre	1	4	2	0	*	3	*	1	8	*	5	9	7	9	*	9	9	8	*	*	8	5	*	9
		post	3	5	8	5	*	5	*	8	7	*	4	7	6	7	*	9	7	8	*	*	8	6	*	7
32	300	pre	1	0	0	0	*	0	*	0	3	*	2	8	1	6	*	8	3	8	*	*	3	1	*	9
		post	2	2	5	4	*	3	*	3	3	*	2	4	4	5	*	9	5	7	*	*	4	5	*	5

Ex. No	dose g/ha	appl. time	TRZAW	HORVW	GOSHI	HELAN	ORYSA	GLXMA	BEAVA	ZEAMX	ALOMY	AVEFA	ECHCG	SETVI	GALAP	STEME	CHEAL	VERPE	LAMPU	VIOAR	SIDSP	AMBAR	ABUTH	IPOPU	SINAL	AMARE
33	300	pre	2	0	0	0	*	2	*	0	0	*	0	0	0	0	*	0	0	0	*	*	0	5	*	0
		post	0	0	0	0	*	0	*	0	0	*	0	2	0	0	*	0	0	0	*	*	0	1	*	0
34	300	pre	1	3	3	*	3	1	*	2	7	*	8	8	2	8	*	9	8	9	*	8	3	5	*	8
		post	2	2	5	*	2	7	*	2	4	*	4	5	6	6	*	9	6	6	*	5	6	6	*	7
39	300	pre	1	3	0	*	*	0	*	0	5	*	4	9	2	9	*	9	8	9	*	3	3	3	*	9
		post	1	2	4	*	*	3	*	3	3	*	2	7	5	5	*	9	5	7	*	3	3	5	*	5
109	300	pre	0	0	0	0	*	*	*	0	0	*	0	0	0	0	6	0	0	0	0	*	0	0	*	6
		post	0	0	2	0	*	*	*	0	0	*	0	1	0	0	0	7	0	0	1	*	0	2	*	1
110	300	pre	3	4	2	1	*	*	*	2	8	*	6	9	2	9	*	9	9	9	9	*	5	3	*	9
		post	4	5	6	6	*	*	*	4	7	*	7	6	8	7	*	9	7	8	6	*	6	4	*	6
111	300	pre	1	3	0	0	*	*	*	0	8	*	*	9	5	9	8	9	8	*	6	*	5	3	*	9
		post	3	3	5	5	*	*	*	4	4	*	*	6	6	8	9	9	8	*	6	*	6	4	*	6
112	300	pre	3	5	6	3	*	5	*	4	9	*	8	9	8	9	*	9	9	8	*	*	8	9	*	9
		post	4	5	8	8	*	8	*	5	7	*	6	8	7	8	*	9	8	9	*	*	8	7	*	8
113	300	pre	3	6	6	2	*	3	*	3	9	*	8	9	8	9	*	9	8	8	*	*	9	9	*	9
		post	4	5	8	8	*	8	*	5	6	*	7	9	7	8	*	9	8	8	*	*	8	8	*	7
114	300	pre	4	5	8	3	*	4	*	3	8	*	8	9	8	9	*	9	8	8	*	*	9	9	*	9
		post	4	5	8	6	*	6	*	6	8	*	5	8	7	8	*	9	8	8	*	*	8	8	*	7
115	300	pre	4	7	8	3	*	5	*	4	8	*	8	9	8	9	*	9	9	8	*	*	9	9	*	9
		post	4	6	9	8	*	8	*	6	7	*	6	8	7	8	*	8	8	8	*	*	8	8	*	8
116	300	pre	0	3	0	0	*	0	*	0	6	*	4	9	0	6	*	9	8	7	*	*	2	4	*	8
		post	2	3	4	4	*	5	*	3	3	*	2	8	3	4	*	9	7	5	*	*	4	5	*	5
117	300	pre	0	2	0	0	*	0	*	2	7	*	5	9	1	5	*	9	6	8	*	*	4	4	*	9
		post	3	3	4	4	*	4	*	4	4	*	3	4	4	4	*	9	5	7	*	*	4	5	*	7
118	300	pre	0	0	0	0	*	0	*	0	3	*	4	7	1	2	*	8	3	7	*	*	1	2	*	9
		post	0	2	4	4	*	3	*	2	3	*	3	4	3	3	*	9	4	5	*	*	2	4	*	5

Ex. No	dose g/ha	appl. time	TRZAW	HORVW	GOSHI	HELAN	ORYSA	GLXMA	BEAVA	ZEAMX	ALOMY	AVEFA	ECHCG	SETVI	GALAP	STEME	CHEAL	VERPE	LAMPU	VIOAR	SIDSP	AMBAR	ABUTH	IPOPU	SINAL	AMARE
119	300	pre	0	0	0	0	*	0	*	0	0	*	1	0	0	0	*	0	0	2	*	*	0	0	*	0
		post	0	2	0	2	*	1	*	1	1	*	0	1	2	2	*	3	1	5	*	*	1	3	*	4
120	300	pre	1	3	2	0	*	0	*	2	8	*	6	9	6	9	*	8	8	8	*	*	5	5	*	9
		post	2	4	5	4	*	5	*	3	5	*	4	5	6	4	*	9	8	7	*	*	5	4	*	5
121	300	pre	2	3	2	0	*	1	*	3	8	*	7	9	3	8	*	9	7	8	*	*	5	4	*	9
		post	3	4	8	4	*	5	*	5	5	*	6	7	6	5	*	9	7	8	*	*	4	5	*	6
122	300	pre	*	*	*	*	*	*	*	*	*	*	*	*	*	*	*	*	*	*	*	*	*	*	*	*
		post	*	*	*	*	*	*	*	*	*	*	*	*	*	*	*	*	*	*	*	*	*	*	*	*
123	300	pre	0	0	0	0	*	0	*	0	0	*	0	0	0	0	*	2	2	4	*	*	0	0	*	4
		post	1	1	2	3	*	2	*	1	1	*	2	2	3	4	*	5	3	5	*	*	2	6	*	4
124	300	pre	1	3	2	1	*	5	*	5	5	*	6	8	3	9	*	9	8	9	*	*	7	9	*	9
		post	4	4	6	5	*	5	*	5	5	*	6	7	4	5	*	9	6	8	*	*	6	7	*	6
125	300	pre	3	4	3	2	*	3	*	5	8	*	7	9	4	9	*	9	9	9	*	*	9	7	*	9
		post	4	5	5	5	*	6	*	5	5	*	6	7	5	6	*	9	6	8	*	*	5	7	*	6
126	300	pre	0	0	0	0	*	0	*	0	3	*	0	9	1	7	*	8	4	8	*	*	2	3	*	9
		post	2	2	5	4	*	3	*	3	3	*	3	3	4	4	*	9	5	8	*	*	4	6	*	5
127	300	pre	0	0	1	0	*	0	*	2	2	*	0	8	0	7	*	7	3	8	*	*	2	4	*	8
		post	0	1	4	3	*	2	*	2	3	*	2	4	3	5	*	6	4	8	*	*	4	6	*	6
128	300	pre	4	5	7	2	*	3	*	5	8	*	7	9	5	9	*	9	9	9	*	*	9	9	*	9
		post	5	5	6	5	*	5	*	6	6	*	7	7	6	6	*	9	6	8	*	*	6	8	*	7
129	300	pre	3	3	5	4	*	3	*	3	8	*	6	9	5	9	*	9	9	9	*	*	9	9	*	9
		post	2	4	7	5	*	5	*	3	5	*	5	6	5	5	*	9	6	8	*	*	6	9	*	6
130	300	pre	2	5	3	2	*	3	*	3	7	*	6	9	4	9	*	9	6	9	*	*	7	6	*	8
		post	2	4	6	5	*	5	*	4	5	*	5	7	5	6	*	8	6	8	*	*	5	9	*	6
131	300	pre	0	0	2	2	*	0	*	2	1	*	0	8	0	4	*	7	0	8	*	*	0	5	*	8
		post	1	1	3	4	*	2	*	1	2	*	2	2	4	4	*	7	4	7	*	*	4	5	*	4

Ex. No	dose g/ha	appl. time	TRZAW	HORVW	GOSHI	HELAN	ORYSA	GLXMA	BEAVA	ZEAMX	ALOMY	AVEFA	ECHCG	SETVI	GALAP	STEME	CHEAL	VERPE	LAMPU	VIOAR	SIDSP	AMBAR	ABUTH	IPOPU	SINAL	AMARE
132	300	pre	0	0	0	0	*	3	*	0	0	*	0	3	0	0	*	0	0	3	*	*	0	3	*	5
		post	0	1	3	2	*	2	*	1	0	*	1	2	3	3	*	4	3	5	*	*	2	5	*	5
133	400	pre	5	5	*	*	5	7	*	4	8	*	*	9	7	*	*	9	9	*	*	*	9	9	*	*
		post	3	4	*	*	5	6	*	4	8	*	*	8	9	*	*	8	8	*	*	*	9	9	*	*
134	400	pre	3	4	*	*	3	4	*	4	8	*	*	9	3	*	*	9	7	*	*	*	6	4	*	*
		post	2	3	*	*	2	6	*	3	4	*	*	8	7	*	*	9	8	*	*	*	9	9	*	*
135	300	pre	6	7	*	*	5	4	*	3	8	*	8	9	3	8	*	9	8	*	*	*	8	9	*	*
		post	4	6	*	*	4	6	*	3	7	*	6	7	6	7	8	9	9	*	*	*	7	9	*	*
136	300	pre	6	8	*	*	5	4	*	4	8	*	8	8	1	9	*	9	8	*	*	*	6	9	*	*
		post	5	6	*	*	5	6	*	4	6	*	7	7	6	8	6	9	7	*	*	*	8	8	*	*
137	300	pre	0	0	*	*	0	0	*	0	0	*	0	0	0	0	5	0	0	*	*	*	0	0	*	*
		post	0	1	*	*	1	1	*	0	1	*	0	0	1	1	*	2	2	*	*	*	1	2	*	*
138	300	pre	6	7	*	*	4	4	*	3	8	*	8	9	4	9	*	9	9	*	*	*	7	9	*	*
		post	4	7	*	*	4	7	*	3	6	*	6	7	5	7	7	9	8	*	*	*	7	8	*	*
139	300	pre	0	0	*	*	0	0	*	0	0	*	0	0	0	0	*	0	0	*	*	*	0	0	*	*
		post	1	2	*	*	1	2	*	0	1	*	1	1	1	1	4	3	2	*	*	*	2	4	*	*
140	300	pre	4	5	5	*	3	2	*	2	8	*	8	8	4	9	*	9	9	9	*	8	4	6	*	8
		post	3	4	5	*	5	5	*	3	7	*	4	8	7	6	*	9	8	6	*	6	8	9	*	9
141	300	pre	1	4	2	*	2	1	*	2	7	*	8	9	1	9	*	9	8	8	*	5	2	4	*	5
		post	2	3	9	*	2	4	*	3	4	*	3	5	5	5	*	8	7	6	*	6	5	9	*	9
142	300	pre	0	0	1	*	0	1	*	0	0	*	1	5	1	4	*	5	1	7	*	0	0	1	*	0
		post	0	1	5	*	0	4	*	2	0	*	1	1	2	3	*	5	4	6	*	5	3	5	*	5
143	300	pre	0	1	4	*	2	2	*	2	6	*	5	8	3	9	*	9	8	9	*	8	4	5	*	8
		post	1	2	8	*	0	3	*	3	1	*	2	3	6	5	*	8	5	6	*	7	6	7	*	8
144	300	pre	0	0	0	*	0	2	*	0	0	*	0	3	0	*	*	4	4	4	*	0	0	4	*	3
		post	1	1	6	*	0	3	*	2	0	*	1	1	4	*	*	6	3	5	*	5	3	4	*	6

Ex. No	dose g/ha	appl. time	TRZAW	HORVW	GOSHI	HELAN	ORYSA	GLXMA	BEAVA	ZEAMX	ALOMY	AVEFA	ECHCG	SETVI	GALAP	STEME	CHEAL	VERPE	LAMPU	VIOAR	SIDSP	AMBAR	ABUTH	IPOPU	SINAL	AMARE
145	300	pre	0	0	2	*	0	1	*	1	2	*	2	5	0	3	*	6	3	6	*	2	0	2	*	5
		post	1	2	8	*	0	4	*	3	1	*	2	2	5	5	*	7	5	6	*	6	5	5	*	8
146	300	pre	0	0	0	0	*	1	*	0	7	*	3	8	0	8	*	9	4	8	*	4	3	1	*	9
		post	0	0	4	5	*	1	*	4	5	*	4	9	4	6	*	7	4	7	*	4	3	4	*	4
147	300	pre	0	1	0	0	*	1	*	0	5	*	3	7	0	6	*	9	3	7	*	3	3	3	*	9
		post	0	0	4	5	*	4	*	1	4	*	3	5	4	5	*	6	4	5	*	4	4	5	*	4
148	300	pre	0	0	0	0	*	0	*	0	1	*	0	2	0	0	*	8	0	8	*	0	1	0	*	9
		post	0	0	3	4	*	2	*	2	1	*	1	3	4	3	*	4	3	6	*	3	2	4	*	4
149	300	pre	0	0	3	0	*	0	*	0	0	*	0	0	0	0	*	2	1	5	*	0	0	0	*	8
		post	0	0	0	4	*	2	*	2	0	*	0	2	4	3	*	5	4	4	*	4	1	4		4
150	300	pre	2	4	4	2	*	3	*	4	8	*	7	9	2	9	*	9	9	9	*	7	4	6	*	9
		post	2	4	6	5	*	4	*	4	6	*	6	8	5	5	*	7	7	7	*	6	6	6		7
151	300	pre	0	0	0	2	*	0	*	0	4	*	0	0	0	6	*	8	2	9	*	4	1	1	*	9
		post	0	1	4	5	*	3	*	3	2	*	2	4	4	5	*	6	4	7	*	4	2	4	*	6
152	300	pre	3	4	5	4	*	3	*	4	8	*	7	7	4	9	*	9	8	9	*	8	7	9	*	8
		post	2	4	6	6	*	5	*	5	8	*	6	9	7	7	*	7	7	7	*	7	6	5	*	7
153	300	pre	1	3	3	0	*	1	*	3	8	*	7	7	1	9	*	9	8	9	*	4	5	5	*	9
		post	4	4	5	6	*	4	*	4	6	*	5	8	5	6	*	8	5	6	*	4	5	5	*	5
154	300	pre	4	5	4	2	*	2	*	4	8	*	8	9	3	9	*	9	9	9	*	8	7	7	*	9
		post	5	6	*	*	*	5	*	7	*	*	*	*	*	*	*	*	*	*	*	*	*	*	*	*
155	300	pre	3	4	4	1	*	1	*	3	8	*	8	9	4	9	*	9	8	9	*	8	8	6	*	9
		post	1	5	6	6	*	5	*	6	6	*	7	8	6	7	*	7	5	7	*	5	6	5	*	5
156	300	pre	2	2	0	0	*	*	*	0	6	*	4	9	0	8	*	9	4	9	*	4	4	3	*	9
		post	0	1	4	5	*	4	*	4	5	*	4	9	5	7	*	7	4	6	*	4	4	4	*	5
157	300	pre	1	3	2	1	*	5	*	5	5	*	6	8	3	9	*	9	8	9	*	7	7	9	*	9
		post	4	4	6	5	*	5	*	5	5	*	6	7	4	5	*	9	6	8	*	5	6	7	*	6

Ex. No	dose g/ha	appl. time	TRZAW	HORVW	GOSHI	HELAN	ORYSA	GLXMA	BEAVA	ZEAMX	ALOMY	AVEFA	ECHCG	SETVI	GALAP	STEME	CHEAL	VERPE	LAMPU	VIOAR	SIDSP	AMBAR	ABUTH	IPOPU	SINAL	AMARE
158	300	pre	3	4	3	2	*	3	*	5	8	*	7	9	4	9	*	9	9	9	*	7	9	7	*	9
		post	4	5	5	5	*	6	*	5	5	*	6	7	5	6	*	9	6	8	*	5	5	7	*	6
159	300	pre	0	0	0	0	*	0	*	0	3	*	0	9	1	7	*	8	4	8	*	4	2	3	*	9
		post	2	2	5	4	*	3	*	3	3	*	3	3	4	4	*	9	5	8	*	4	4	6	*	5
160	300	pre	0	0	1	0	*	0	*	2	2	*	0	8	0	7	*	7	3	8	*	2	2	4	*	2
		post	0	1	4	3	*	2	*	2	3	*	2	4	3	5	*	6	4	2	*	5	4	6	*	6
161	300	pre	0	0	0	*	0	1	*	0	0	*	0	0	0	0	*	1	0	0	*	0	0	0	*	0
		post	0	1	3	*	0	2	*	0	0	*	0	1	0	1	*	1	1	5	*	1	1	3	*	2
162	300	pre	0	0	0	*	0	0	*	0	0	*	0	0	0	0	*	0	0	0	*	0	0	0	*	0
		post	0	0	3	*	0	2	*	0	0	*	0	0	1	1	*	1	5	5	*	0	0	2	*	0
163	300	pre	0	0	0	*	0	0	*	0	0	*	0	3	1	0	*	3	0	2	*	0	0	2	*	0
		post	1	1	5	*	0	4	*	2	0	*	0	2	2	3	*	6	4	5	*	3	2	4	*	5
164	300	pre	0	0	0	*	2	0	*	0	0	*	0	5	0	6	*	4	1	7	*	1	0	1	*	3
		post	0	1	6	*	0	4	*	3	1	*	1	1	2	4	*	6	5	6	*	3	3	5	*	8
165	300	pre	0	3	5	*	2	2	*	2	8	*	8	9	4	9	*	9	9	9	*	8	4	6	*	8
		post	2	3	9	*	0	6	*	3	3	*	2	5	8	6	*	9	7	6	*	6	7	9	*	8
166	300	pre	0	1	4	*	2	1	*	1	5	*	7	5	2	5	*	8	7	8	*	6	2	4	*	8
		post	1	2	5	*	2	7	*	2	3	*	3	4	6	5	*	8	5	7	*	4	6	5	*	8
167	300	pre	2	3	5	*	4	2	*	3	8	*	8	9	2	8	*	9	8	8	*	8	4	9	*	8
		post	2	3	6	*	5	6	*	3	6	*	6	8	5	7	*	8	6	6	*	4	6	5	*	8
168	300	pre	2	3	4	*	0	3	*	1	7	*	5	8	1	5	*	9	6	9	*	5	4	3	*	9
		post	2	3	8	*	2	7	*	2	4	*	4	5	5	5	*	9	8	8	*	6	5	8	*	7
169	300	pre	3	3	5	*	5	2	*	3	8	*	6	9	1	9	*	9	8	9	*	5	4	6	*	9
		post	3	3	9	*	5	6	*	3	5	*	5	6	5	4	*	8	7	7	*	5	6	6	*	7
170	300	pre	0	0	0	*	0	0	*	0	0	*	0	2	0	0	*	0	1	5	*	0	0	2	*	6
		post	0	1	4	*	0	5	*	1	0	*	0	0	2	1	*	3	3	5	*	3	2	4	*	5

Ex. No	dose g/ha	appl. time	TRZAW	HORVW	GOSHI	HELAN	ORYSA	GLXMA	BEAVA	ZEAMX	ALOMY	AVEFA	ECHGG	SETVI	GALAP	STEME	CHEAL	VERPE	LAMPU	VIOAR	SIDSP	AMBAR	ABUTH	IPOPU	SINAL	AMARE
171	300	pre	0	0	1	*	0	0	*	0	3	*	2	6	0	0	*	3	2	8	*	0	0	1	*	7
		post	1	2	4	*	0	4	*	1	2	*	2	3	4	2	*	5	5	7	*	4	4	4	*	5
172	300	pre	0	0	0	*	*	0	*	0	0	*	0	0	0	0	*	2	2	4	*	1	0	0	*	4
		post	1	1	2	*	*	2	*	1	1	*	2	2	3	4	*	5	3	5	*	2	2	6	*	4
173	300	pre	1	3	6	*	*	2	*	2	8	*	8	9	6	8	*	9	9	9	*	8	5	8	*	8
		post	2	3	6	*	*	7	*	3	6	*	6	7	6	7	*	9	6	7	*	6	6	8	*	8
174	300	pre	3	3	5	*	0	3	*	2	8	*	5	8	4	8	*	9	8	9	*	6	4	6	*	9
		post	2	3	6	*	4	7	*	3	5	*	5	5	5	4	*	9	7	8	*	6	5	8	*	7
175	300	pre	0	0	2	*	0	1	*	0	4	*	1	7	0	2	*	7	4	8	*	1	0	0	*	8
		post	1	1	6	*	1	7	*	1	5	*	5	5	5	4	*	9	7	8	*	6	5	8	*	7
176	400	pre	3	2	*	*	2	3	*	2	7	*	*	8	3	*	*	9	8	*	*	*	2	8	*	*
		post	3	4	*	*	2	2	*	2	4	*	*	6	8	*	*	8	7	*	*	*	8	9	*	*
177	400	pre	7	5	*	*	5	4	*	4	8	*	*	9	5	*	*	9	*	*	*	*	6	9	*	*
		post	3	5	*	*	4	6	*	4	6	*	*	7	7	*	*	9	*	*	*	*	7	6	*	*
178	400	pre	2	2	*	*	2	1	*	1	6	*	*	8	4	*	*	8	*	*	*	*	2	3	*	*
		post	1	3	*	*	4	4	*	3	3	*	*	4	5	*	*	9	*	*	*	*	5	4	*	*
188	400	pre	4	5	*	*	6	4	*	5	9	*	*	9	8	*	*	9	9	*	*	*	9	9	*	*
		post	3	4	*	*	3	5	*	3	7	*	*	8	9	*	*	9	8	*	*	*	8	9	*	*
190	400	pre	5	6	*	*	5	5	*	5	9	*	*	9	9	*	*	9	9	*	*	*	9	9	*	*
		post	4	5	*	*	4	7	*	3	8	*	*	9	9	*	*	9	8	*	*	*	9	9	*	*
191	400	pre	4	4	*	*	5	5	*	4	9	*	*	9	8	*	*	9	9	*	*	*	9	9	*	*
		post	4	4	*	*	4	7	*	3	8	*	*	8	9	*	*	9	8	*	*	*	9	9	*	*
192	400	pre	4	4	*	*	3	5	*	4	8	*	*	9	7	*	*	9	8	*	*	*	9	9	*	*
		post	3	4	*	*	3	6	*	2	7	*	*	8	9	*	*	9	8	*	*	*	9	9	*	*
193	400	pre	4	5	*	*	3	4	*	4	8	*	*	9	7	*	*	9	8	*	*	*	8	9	*	*
		post	4	4	*	*	4	5	*	3	8	*	*	9	8	*	*	8	7	*	*	*	8	9	*	*

Table XVI

Efficacy of the compounds of the invention in pre-emergence application

Example	Rate [kg/ha]	GLXMA	HORVW	OSYA	TRZAW	ZEAMX	ABUTH	AMBEL	CASOB	GALAP	IPOHE	LAMPU	MATIN	STEME	VERPE	ALOMY	DIGSA	ECHCG	SETVI
218	0.4	4	5	3	5	4	9	9	7	8	9	8	9	8	9	9	9	8	9
	0.1	4	4	2	4	3	8	9	7	7	5	8	9	8	9	7	9	6	8
	0.025	3	3	1	2	2	8	9	6	2	5	8	9	7	8	5	8	2	8
	0.0125	2	2	1	1	1	6	8	6	1	3	8	8	X	X	2	7	1	6
219	0.4	6	5	4	5	4	9	9	9	8	9	8	9	X	9	9	9	9	9
	0.1	4	4	2	4	3	9	9	9	7	9	8	9	X	9	8	9	7	9
	0.025	3	3	2	2	2	8	7	8	3	7	8	9	X	9	5	9	5	9
	0.0125	3	2	1	1	1	5	7	8	X	6	7	9	X	9	3	7	3	6
220	0.4	6	6	4	6	5	9	9	9	8	9	8	9	X	9	9	9	9	9
	0.1	4	5	3	4	3	8	8	9	8	9	X	9	X	9	8	9	7	9
	0.025	2	4	1	2	2	7	8	7	2	4	8	9	X	9	7	9	4	9
	0.0125	2	3	1	1	1	4	7	3	X	3	7	9	X	8	6	8	3	7
292	0.4	5	7	4	6	5	9	9	9	8	9	8	9	9	9	9	9	9	9
	0.1	4	5	3	4	4	8	9	8	7	7	8	9	9	9	9	9	8	9
	0.025	2	4	1	2	2	6	8	7	5	5	8	9	9	9	7	9	5	9
	0.0125	2	3	0	1	1	4	6	5	1	3	7	9	8	8	5	8	3	9
293	0.4	3	5	3	4	4	9	9	8	8	9	9	9	9	9	9	9	8	9
	0.1	3	4	2	2	3	6	8	8	6	6	7	8	9	8	8	9	5	9
	0.025	2	3	1	1	2	6	7	2	3	3	X	8	9	6	5	6	2	8
	0.0125	1	2	0	0	0	2	4	1	1	3	5	6	5	6	2	4	0	5
294	0.4	4	6	4	5	3	9	8	9	8	9	8	9	9	9	9	9	8	9
	0.1	3	5	3	4	2	7	8	5	5	9	8	9	9	8	8	9	7	9
	0.025	3	4	1	2	1	5	7	5	4	4	8	8	6	8	6	7	5	9
	0.0125	1	3	0	2	0	4	7	X	2	3	7	8	X	7	5	6	5	8
295	0.4	3	5	2	3	3	6	9	8	6	6	8	9	8	8	6	9	7	9
	0.1	2	3	1	1	2	4	8	2	4	5	7	9	8	8	5	9	4	9
	0.025	X	2	0	0	1	X	6	2	1	5	7	8	7	7	2	6	4	8
	0.0125	0	1	0	0	0	2	6	1	0	3	6	8	7	7	1	2	1	3
296	0.4	4	3	1	2	2	7	9	9	8	9	8	9	X	8	7	9	4	9
	0.1	2	2	0	1	1	5	7	7	7	5	5	9	X	8	4	8	2	8
	0.025	1	1	0	0	0	4	3	1	X	4	5	6	X	3	2	4	1	4
	0.0125	1	0	0	0	0	4	3	1	1	3	4	6	X	X	1	1	0	3
298	0.4	5			5	4	8	9	9		9		9			9		8	9
	0.1	5			3	3	8	9	9		7		9			7		8	9
	0.025	2			1	1	X	X	5		X		X			X		3	X
	0.0125	2			1	1	5	7	5		5		8			3		2	6
299	0.4	3			3	3	8	9	9		9		9			8		8	9
	0.1	3			2	2	6	9	9		5		9			7		5	9
	0.025	2			1	1	5	9	7		5		9			5		3	7
	0.0125	2			1	1	3	7	3		3		8			2		1	5
300	0.4	3			4	4	8	9	8		9		9			8		8	9
	0.1	2			2	2	5	9	7		5		9			6		5	9
	0.025	2			1	1	3	8	6		4		8			4		2	6
	0.0125	1			0	1	1	3	1		1		8			2		1	5

X assessment not possible

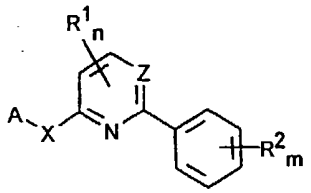
Table XVII

Efficacy of the compounds of the invention in post-emergence application

Example	Rate [kg/ha]	GLXMA	HORVW	ORYSA	TRZAW	ZEAMX	ABUTH	AMBEL	CASOB	GAAP	IPOHE	LAMPU	MATIN	STEME	VERPE	ALOMY	DIGSA	ECHCG	SETVI
218	0.4	8	5	6	5	4	8	8	6	8	9	8	9		9	8	8	8	8
	0.1	6	4	6	4	4	8	7	X	7	9	8	7		9	8	8	8	8
	0.025	6	4	5	3	3	6	6	6	6	9	8	6		9	5	7	6	6
219	0.4	7	5	6	5	5	7	6	7	8	9	8	8	8	9	8	7	8	8
	0.1	6	4	5	4	4	7	6	7	8	9	8	8	8	9	7	6	7	8
	0.025	5	4	4	3	3	6	5	6	8	9	7	7	7	9	6	4	6	7
220	0.4	7	5	6	5	5	7	7	7	8	9	8	9	8	9	9	7	8	8
	0.1	6	4	5	4	5	7	6	6	8	9	8	8	8	9	7	5	8	8
	0.025	5	4	3	3	4	6	6	6	7	9	7	7	7	9	5	3	6	7
292	0.4	7	5	6	5	5	8	7	7	8	9	8	8	9	9	8	8	8	8
	0.1	6	5	5	4	5	7	7	7	8	9	8	8	9	9	8	8	8	8
	0.025	5	4	3	3	4	6	6	7	8	9	8	7	7	9	6	6	5	6
293	0.4	5	4	5	4	5	8	8	7	8	9	8	7	9	9	8	8	8	8
	0.1	5	4	4	3	4	7	7	7	8	9	8	7	8	9	7	8	7	8
	0.025	4	3	3	3	3	5	5	7	8	5	8	7	8	9	5	5	4	6
294	0.4	7	5	6	4	5	8	8	7	8	9	9	8	9	9	8	7	8	8
	0.1	5	4	4	4	4	7	7	7	8	9	8	8	9	9	8	7	8	8
	0.025	5	4	3	3	3	5	5	6	6	5	8	7	5	9	6	6	5	7
295	0.4	5	4	2	3	3	7	6	7	6	9	8	8	6	9	5	6	5	8
	0.1	5	3	2	2	3	5	5	7	5	8	8	8	0	9	4	6	5	6
	0.025	4	2	2	2	2	4	4	6	4	6	8	5	X	9	2	4	2	4
296	0.4	6	3	4	3	3	7	7	6	8	9	8	7	9	9	5	3	4	8
	0.1	5	2	3	2	2	7	6	6	8	9	7	6	7	9	4	3	3	7
	0.025	4	2	2	2	2	4	5	5	7	5	6	4	4	8	3	3	3	5
298	0.4	5			4	5	8	8	7		9		8			9			9
	0.1	4			3	3	X	7	7		9		8			8			9
	0.025	3			2	2	6	6	5		9		7			7			9
299	0.4	6			4	3	9	8	7		9		8			9			9
	0.1	4			3	2	8	7	7		9		7			7			9
	0.025	3			2	2	5	4	7		9		7			5			9
300	0.4	4			4	3	9	8	7		9		8			9			9
	0.1	4			3	2	8	7	7		9		8			8			9
	0.025	2			2	2	5	4	6		9		7			6			8

Claims

1. A compound of the general formula (I)



(I)

wherein

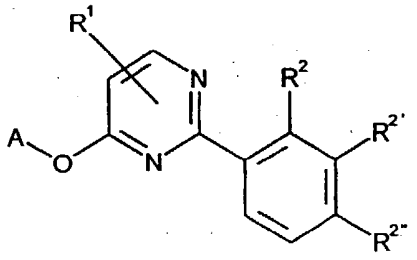
A represents an optionally substituted aryl group or an optionally substituted 5- or 6 membered nitrogen-containing heteroaromatic group or a difluorobenzodioxolyl group;
m represents an integer from 0 to 5;
n represents an integer from 0 to 2;
R^1 (or each R^1) independently represents a hydrogen atom, an halogen atom, an optionally substituted alkyl, alkenyl, alkinyl, alkoxy, alkoxyalkoxy, alkoxyalkyl, dialkoxyalkyl, alkylthio, amino, alkylamino, dialkylamino, alkoxyamino or formamidino group;
R^2 (or each R^2) independently represents a hydrogen atom, a halogen atom, an optionally substituted alkyl, alkenyl, alkinyl, alkoxy, alkoxyalkyl, alkoxyalkoxy, alkylthio, alkylsulphinyl, alkylsulphonyl group or a nitro, cyano, haloalkyl, haloalkoxy, haloalkylthio or SF_5 group,
X represents an oxygen or sulphur atom; and
Z represents a nitrogen atom or a CH group;

with the provisos that

(a) A represents a pyridyl group being substituted by at least one haloalkyl, haloalkoxy or haloalkylthio group, in the event that Z represents N; or
(b) m and n are 1, R^1 denotes an alkyl, alkoxy or alkylamino group attached in the 4-position and R^2 represents a trifluoromethyl, in the event that Z represent CH.

2. A compound as claimed in Claim 1 wherein
A represents a phenyl, pyridyl or pyrazolyl group being substituted by one or more of the same or different substituents selected from halogen atoms, alkyl groups, alkoxy groups, haloalkyl groups, haloalkoxy groups, haloalkylthio groups and SF_5 groups.

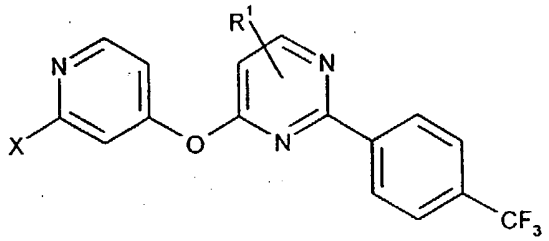
3. A compound of formula I A



(I A)

wherein A represents a 2-trifluoromethylpyrid-4-yl or 2-difluoromethoxypyrid-4-yl group, R^1 has the meaning given above; R^2, $R^{2'}$ and $R^{2''}$ independently represent a hydrogen atom, a fluorine, chlorine or bromine atom, one or two of them also a trifluoromethyl, trifluormethoxy or a cyano group, $R^{2''}$ can further be a C_1-C_4-alkyl group, particularly tert-butyl.

4. A compound of formula I B



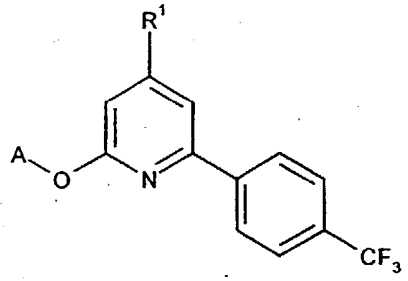
(I B)

wherein X represents haloalkyl, haloalkoxy or haloalkylthio, and R^1 denotes a halogen atom or an alkyl or alkoxy group.

5. A compound of formula IB selected from the group consisting of

6-methyl-2-(4'-trifluoromethylphenyl)-4-(2"-difluoromethoxy-pyrid-4"-yloxy)pyrimidine
6-ethyl-2-(4'-trifluoromethylphenyl)4-(2"-trifluoromethyl-pyrid-4"-yloxy)pyrimidine
6-ethyl-2-(4'-trifluoromethylphenyl)-4[2"-(2,2,2-trifluoroethyl)-pyrid-4"-yloxy]pyrimidine
6-ethyl-2-(4'-trifluoromethylphenyl)-4-(2"-difluoromethoxy-pyrid-4"-yloxy)pyrimidine
6-methoxymethyl-2-(4'-trifluoromethylphenyl)-4-(2"-difluoromethoxy-pyrid-4"-yloxy)pyrimidine
6-methoxmethyl-2-(4'-trifluoromethylphenyl)-4-[2"-(2,2,2-trifluoroethyl)-pyrid-4"-yloxy]pyrimidine
6-methyl-2-(4'-trifluoromethylphenyl)-4-[2"-(1,1,2,2-tetrafluoroethyl)-pyrid-4"-yloxy]pyrimidine
5-methyl-2-(4'-trifluoromethylphenyl)-4-[2"-(1,1,2,2-tetrafluoroethyl)-pyrid-4"-yloxy]pyrimidine
6-methyl-2-(4'-trifluoromethylphenyl)-4-(2"-difluoromethylthio-pyrid-4"-yloxy)pyrimidine
5-methyl-2-(4'-trifluoromethylphenyl)-4-(2"-difluoromethylthio-pyrid-4"-yloxy)pyrimidine
6-methoxy-2-(4'-trifluoromethylphenyl)-4-(2"-difluoromethylthio-pyrid-4"-yloxy)pyrimidine

6. A compound of formula I C



(I C)

wherein R^1 denotes alkyl, alkoxy or alkylamino and A has the meaning given in any of the preceding claim.

7. A compound of formula IC selected from the group consisting of

4-ethyl-2-(4'-trifluoromethylphenyl)-6-(1"-methyl-3-trifluoromethylpyrazol-5-yloxy)pyridine
4-methyl-2-(4'-trifluoromethylphenyl)-6-(2"-difluoromethoxpyrid-4"-yloxy)pyridine
4-methyl-2-(4'-trifluoromethylphenyl)-6-(2"-trifluoromethylpyrid-4"-yloxy)pyridine
4-methyl-2-(4'-trifluoromethylphenyl)-6-(3"-trifluoromethylphenyloxy)pyridine

8. A herbicidal composition which comprises at least one compound as claimed in claims I to 14 and a carrier and/

or a surface-active agent.

9. A method of combating undesired plant growth at a locus, which comprises treating the locus with an effective amount of at least one compound as claimed in claims 1 to 14.

10. The use of an effective amount of a compound of claim 1 to 14 for combatting undesired plant growth.

European Patent Office

EUROPEAN SEARCH REPORT

Application Number
EP 97 30 5994

DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	CLASSIFICATION OF THE APPLICATION (Int.Cl.6)
X	EP 0 723 960 A (AMERICAN CYANAMID CO.) 31 July 1996 * claims 1,2,6-9 *	1,2,8-10	C07D401/12 C07D213/643 C07D403/14 A01N43/40
D,Y	DE 40 29 654 A (HOECHST AG) 2 April 1992 * claims 1-8 *	1-10	A01N43/54 A01N43/56
D,Y	EP 0 572 093 A (SHELL INTERNATIONALE RESEARCH MAATSCHAPPIJ B. V.) 1 December 1993 * claims 1-10 *	1-10	
Y	EP 0 581 960 A (KUMIAI CHEMICAL INDUSTRY CO., LTD.) 9 February 1994 * claims 1-10 *	1-10	
Y	WO 94 02470 A (SHELL INTERNATIONALE RESEARCH MAATSCHAPPIJ B.V.) 3 February 1994 * claims 1-10 *	1-10	
Y	US 4 493 726 A (K. BURDESKA ET AL.) 15 January 1985 * claim 1 *	1-10	TECHNICAL FIELDS SEARCHED (Int.Cl.6) C07D A01N

The present search report has been drawn up for all claims

Place of search	Date of completion of the search	Examiner
MUNICH	3 November 1997	Herz, C

CATEGORY OF CITED DOCUMENTS

X : particularly relevant if taken alone
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A : technological background
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